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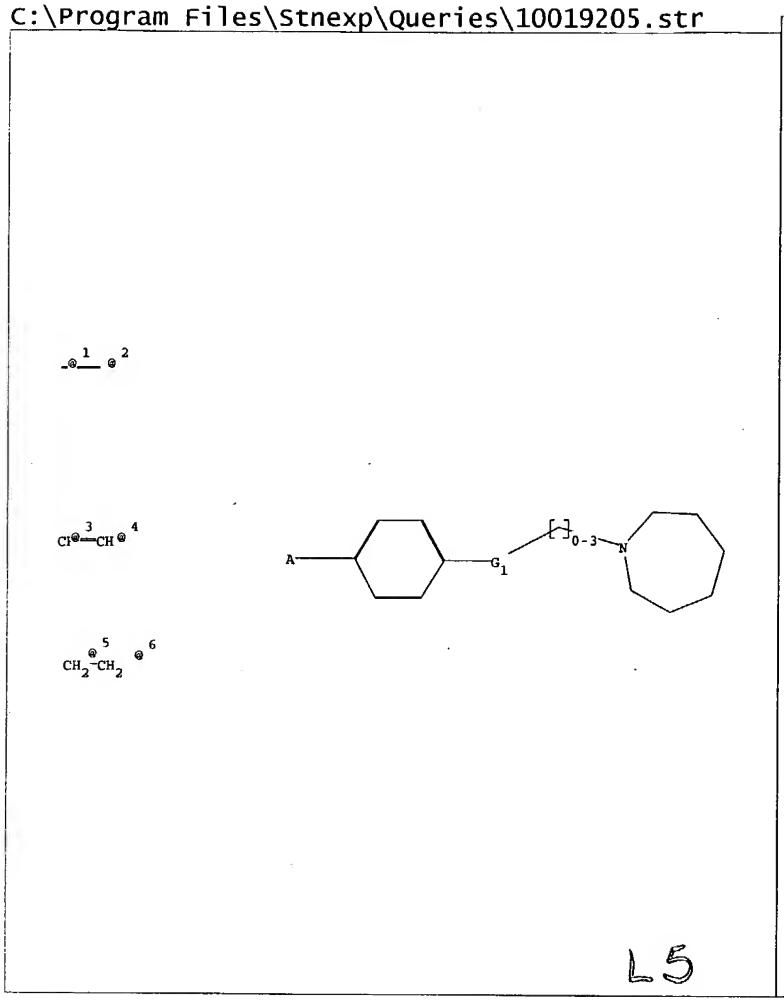

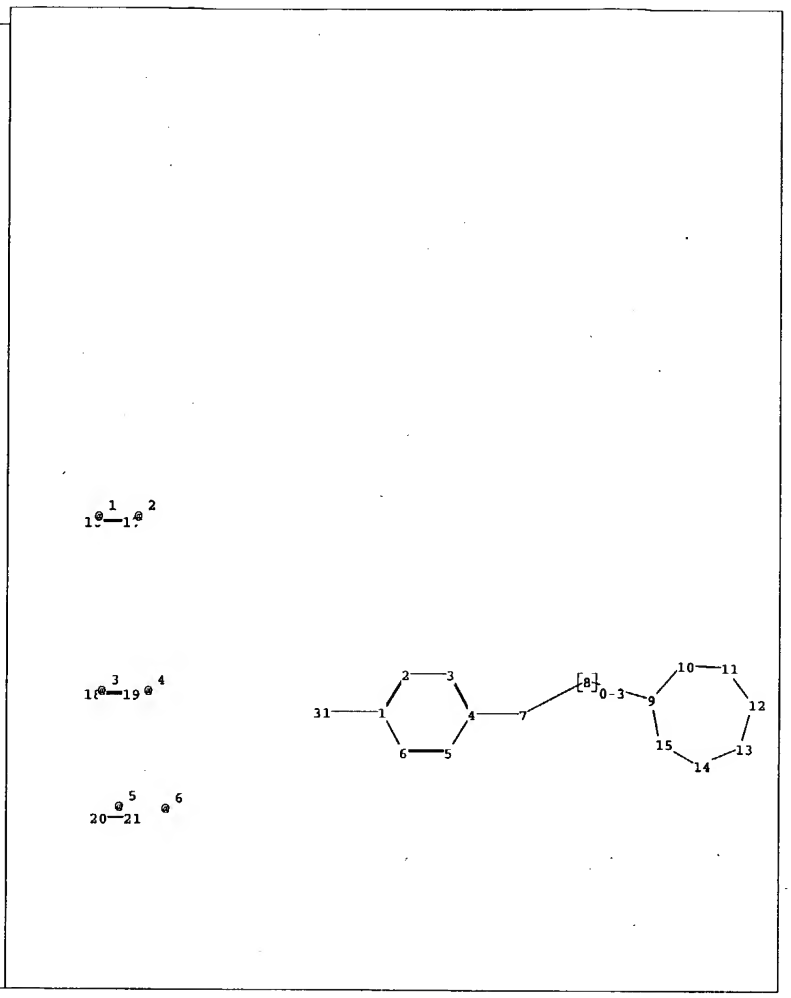

chain nodes :
7 8 16 17 18 19 20 21
ring nodes :
1 2 3 4 5 6 9 10 11 12 13 14 15
ring/chain nodes :
31
chain bonds :
1-31 4-7 7-8 8-9 16-17 18-19 20-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-15 10-11 11-12 12-13 13-14 14-15
exact/norm bonds :
1-31 4-7 7-8 8-9 9-10 9-15
exact bonds :
10-11 11-12 12-13 13-14 14-15 16-17 18-19 20-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 9 :

G1:[*1-*2],[*3-*4],[*5-*6]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS
21:CLASS 31:CLASS

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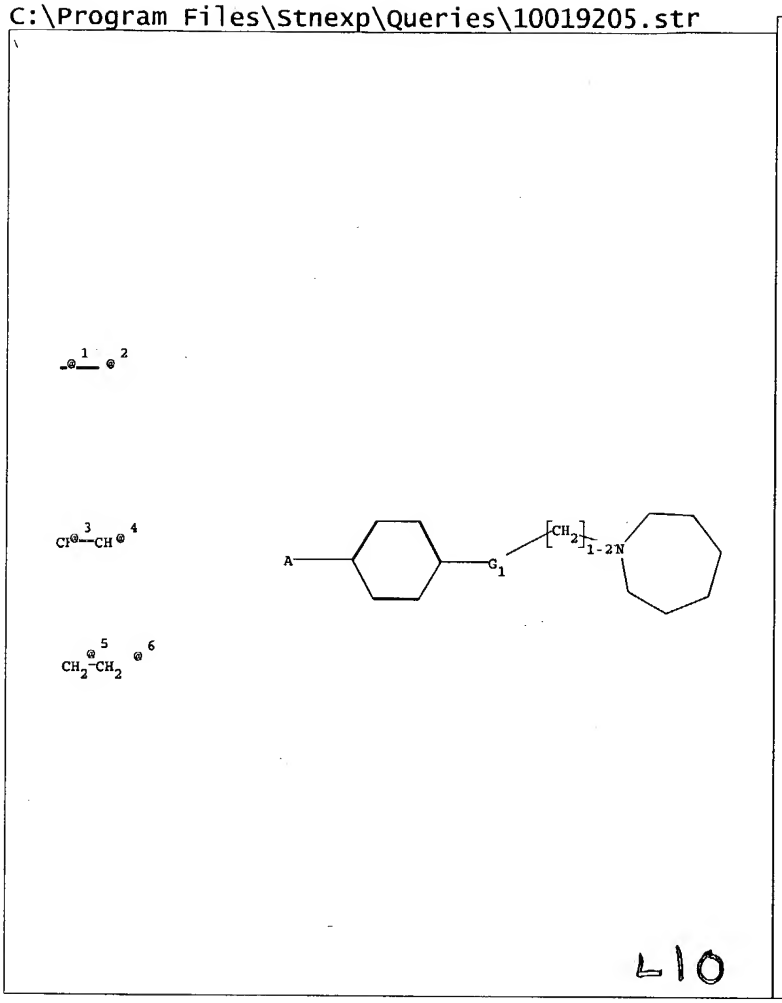

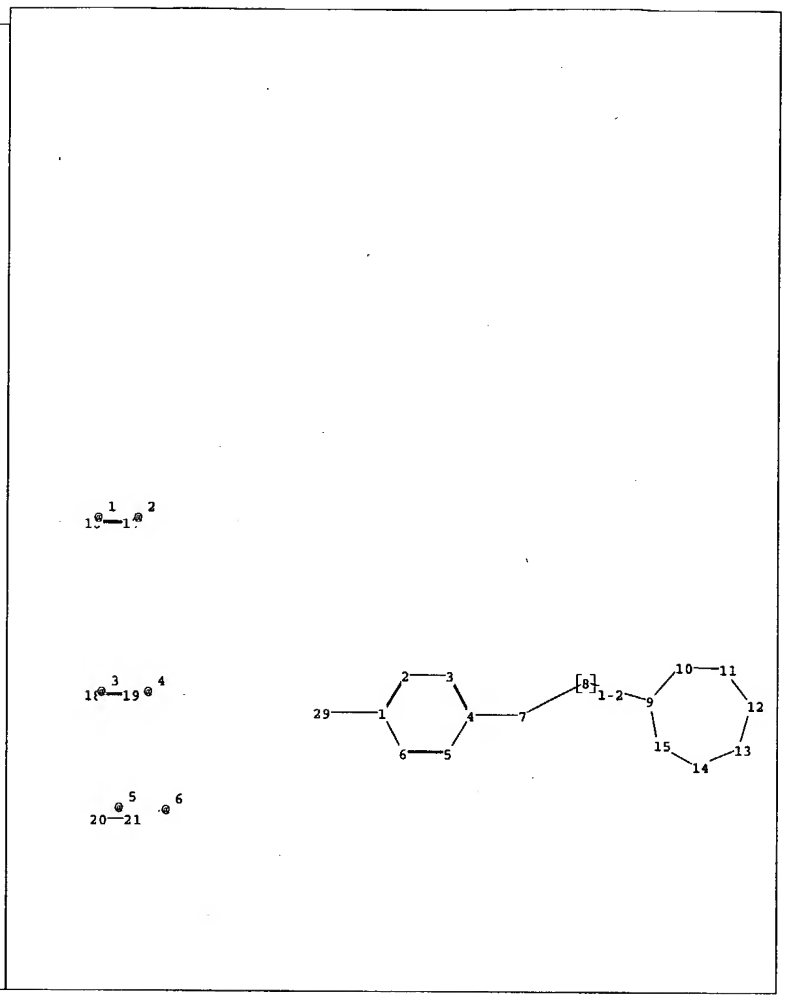

chain nodes :
7 8 16 17 18 19 20 21
ring nodes :
1 2 3 4 5 6 9 10 11 12 13 14 15
ring/chain nodes :
29
chain bonds :
1-29 4-7 7-8 8-9 16-17 18-19 20-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-15 10-11 11-12 12-13 13-14 14-15
exact/norm bonds :
1-29 4-7 7-8 9-10 9-15
exact bonds :
8-9 10-11 11-12 12-13 13-14 14-15 16-17 18-19 20-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 9 :

G1:[*1-*2],[*3-*4],[*5-*6]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS
21:CLASS 29:CLASS

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=> d his

     (FILE 'HOME' ENTERED AT 16:03:40 ON 25 AUG 2004)

     FILE 'REGISTRY' ENTERED AT 16:03:50 ON 25 AUG 2004
L1                STRUCTURE UPLOADED
L2                QUE L1
L3              0 S L2
L4                STRUCTURE UPLOADED
L5                QUE L4
L6              0 S L5
L7            309 S L5 SSS FUL

     FILE 'CAPLUS' ENTERED AT 16:07:07 ON 25 AUG 2004
L8             64 S L7

     FILE 'REGISTRY' ENTERED AT 16:07:59 ON 25 AUG 2004
L9                STRUCTURE UPLOADED
L10               QUE L9
L11           147 S L10  SUB=L7 FUL

     FILE 'CAPLUS' ENTERED AT 16:11:07 ON 25 AUG 2004
L12            30 S L11

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L12 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:565187 CAPLUS
DOCUMENT NUMBER: 141:123486
TITLE: Preparation of naphthalene derivatives as selective estrogen receptor modulators
INVENTOR(S): Hamaoka, Shinichi; Kitazawa, Noritaka; Nara, Kazumasa; Sasaki, Atsushi; Kamada, Atsushi; Okabe, Tadashi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 982 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058682	A1	20040715	WO 2003-JP16808	20031225

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-378729 A 20021226

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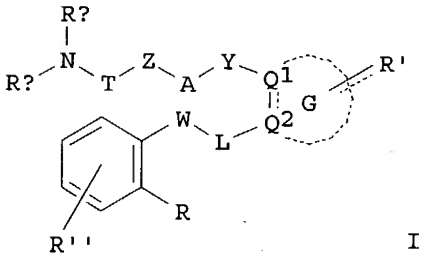

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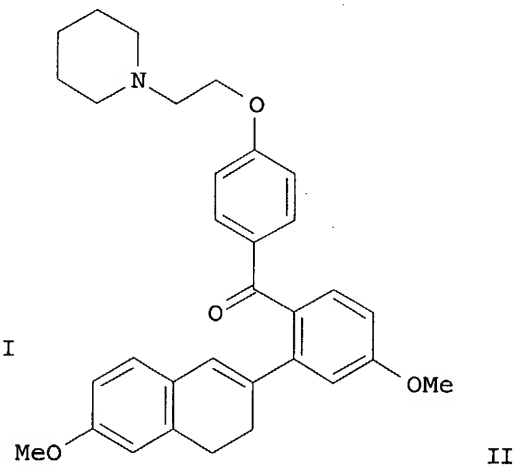


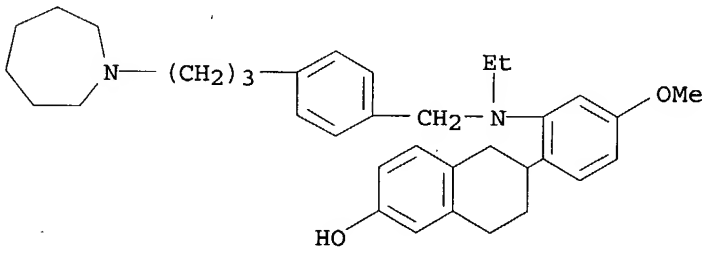
II

AB The title compds. I [wherein T = a single bond, (un)substituted alkylene, alkenylene, or alkynylene; A = a single bond, (un)substituted heterocycle, (hetero)arylene, or cyclohydrocarbyl; Y = a single bond, O, S, etc.; Z = CH2O, O, S, etc.; ring G = (hetero)arylene, heterocycle, etc.; Q1 and Q2 = independently N or C; Ra and Rb = independently H, (un)substituted alkyl,

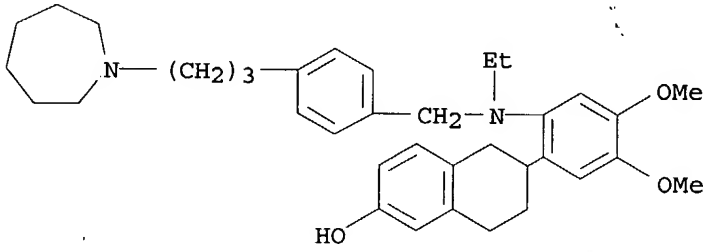
alkenyl, alkynyl, etc.; W = a single bond, CO, (un)substituted alkylene, NH, etc.; R' = H, O, S, etc.; R'' = H, OH, halo, etc.; R = H, OH, halo, etc.; L = a single bond, (un)substituted alkylene, alkenylene, or alkynylene] or salts, or hydrates thereof are prepared as selective estrogen receptor modulators. For example, the compound II was prepared in a multi-step synthesis. I showed affinity towards estrogen receptor with Ki of 0.2 to 94 nM in cow.

IT **722522-86-3P 722523-92-4P 722524-46-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of naphthalene derivs. as selective estrogen receptor modulators)

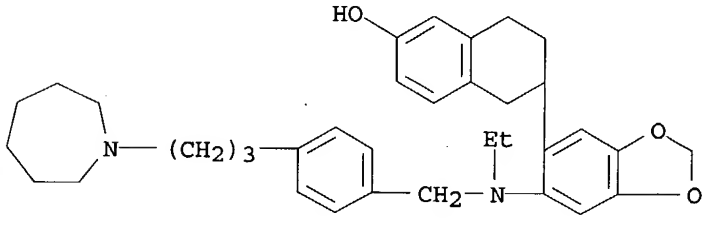
RN 722522-86-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 722523-92-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 722524-46-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

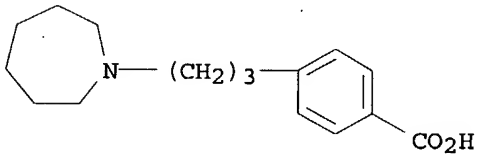


IT **722535-92-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of naphthalene derivs. as selective estrogen receptor modulators)

RN 722535-92-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



● HCl

L12 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:203835 CAPLUS
DOCUMENT NUMBER: 140:235754
TITLE: Preparation of heteroaryl nitriles for treating disorders involving cathepsin K
INVENTOR(S): Altmann, Eva; Betschart, Claudia; Hayakawa, Kenji; Irie, Osamu; Sakaki, Junichi; Iwasaki, Genji; Lattmann, Rene; Missbach, Martin; Teno, Naoki
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020441	A1	20040311	WO 2003-EP9621	20030829

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: GB 2002-20187 A 20020830
OTHER SOURCE(S): MARPAT 140:235754
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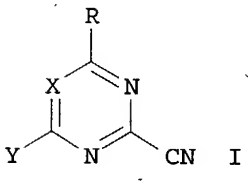

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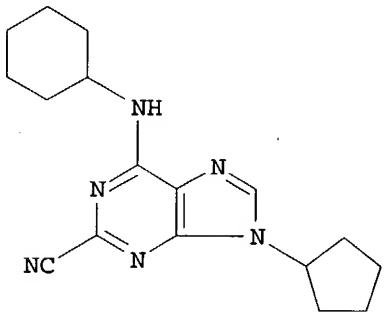


II

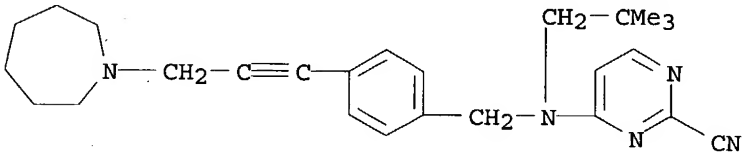
AB The invention provides heteroaryl nitriles (shown as I; variables defined below; the examples are mostly pyrimidines, quinazolines and purines, e.g. II) or a pharmaceutically acceptable salt or ester thereof, which are inhibitors of cathepsin K and find use pharmaceutically for treatment of diseases and medical conditions in which cathepsin K is implicated, e.g. various disorders including inflammation, rheumatoid arthritis, osteoarthritis, osteoporosis and tumors. Compds. I typically have Ki's for human cathepsin K of .ltorsim.50 nM, preferably of .ltorsim.5 nM, e.g. .apprx.1 nM; values for individual I are not given. For I: R is H, -R2, -OR2 or NR1R2, wherein R1 is H, lower alkyl or C3-C10 cycloalkyl, and R2 is lower alkyl or C3-C10 cycloalkyl, and wherein R1 and R2 are (un)substituted by halo, hydroxy, lower alkoxy, CN, NO2, or optionally mono- or di-lower alkyl substituted amino; X is :N- or :C(Z)-, wherein Z is H, -R4, -C.tplbond.C-CH2-R5, C(P):C(Q)-R3; Y = -NR8R9; Z and Y together

with the C atoms to which they are attached can be joined to provide a ring; addnl. details are given in the claims. Methods of preparation are claimed and many example prepns. are included. For example, II was prepared in 3 steps starting with N-heteroarylation of cyclohexylamine by 2,6-dichloropurine followed by N-cycloalkylation of the purine by bromocyclopentane, followed by substitution of Cl in 2-chloro-6-cyclohexylamino-9-cyclopentylpurine by NaCN.

IT **669004-47-1P**, 4-[[4-[3-(Azepan-1-yl)prop-1-ynyl]benzyl](2,2-dimethylpropyl)amino]pyrimidine-2-carbonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heteroaryl nitriles for treating disorders involving cathepsin K)

RN 669004-47-1 CAPLUS

CN 2-Pyrimidinecarbonitrile, 4-[(2,2-dimethylpropyl)[[4-[3-(hexahydro-1H-azepin-1-yl)-1-propynyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



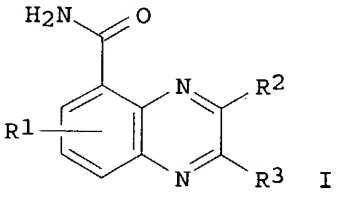
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:591178 CAPLUS
DOCUMENT NUMBER: 139:149653
TITLE: Preparation of quinoxaline derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors for treatment of rheumatoid arthritis
INVENTOR(S): Takayama, Kazuhisa; Masuda, Naoyuki; Hondo, Takeshi; Hirabayashi, Ryoji; Seki, Norio; Koga, Yuji; Naito, Ryo; Okamoto, Yoshinori; Kaizawa, Hiroyuki; Okuda, Takao; Okada, Youhei; Takeuchi, Makoto
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062234	A1	20030731	WO 2003-JP545	20030122

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-14121 A 20020123
OTHER SOURCE(S): MARPAT 139:149653
GI



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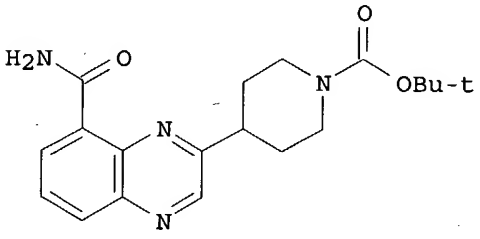

II

AB The title quinoxaline derivs. with general formula of I [wherein wherein R1 = H, alkoxy, halo, or (un)substituted alkyl; R2 = halo, (un)substituted OH, SH, or amino, etc.; R3 = H, OH, halo, (un)substituted cycloalkyl, cycloalkenyl, heterocyclyl, or alkyl, etc.; with exclusions] and pharmaceutically acceptable salts thereof are prepared as poly(ADP-ribose) polymerase (PARP) inhibitors for the treatment of rheumatoid arthritis. For example, the quinoxalinecarboxamide II was prepared in a four-step synthesis starting from N-(tert-butoxycarbonyl)isonipecotic acid comprising ring formation reaction. Some of compds. I showed IC50 of 3.8-72 nM against human PARP.

IT **569665-63-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoxaline derivs. as PARP inhibitors for treatment of rheumatoid arthritis)

RN 569665-63-0 CAPLUS

CN 5-Quinoxalinecarboxamide, 3-[1-[3-(4-fluorophenyl)propyl]hexahydro-1H-azepin-4-yl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

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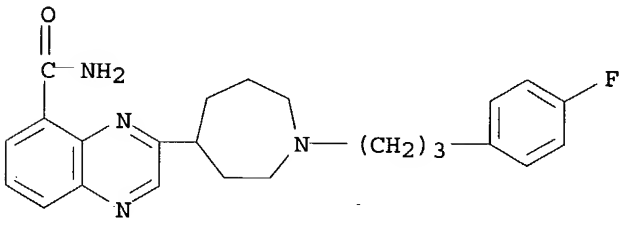
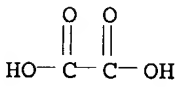
CRN 569665-62-9
CMF C24 H27 F N4 O



CM 2

CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:849447 CAPLUS
DOCUMENT NUMBER: 137:333167
TITLE: Treatment of psychotic disorders using co-therapy with anticonvulsant derivatives and atypical antipsychotics
INVENTOR(S): Fenton, Wayne S.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087590	A1	20021107	WO 2002-US12997	20020423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003109546	A1	20030612	US 2002-131277	20020423
EP 1404342	A1	20040407	EP 2002-766807	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
US 2001-286765P P 20010426
US 2001-301661P P 20010628
WO 2002-US12997 W 20020423

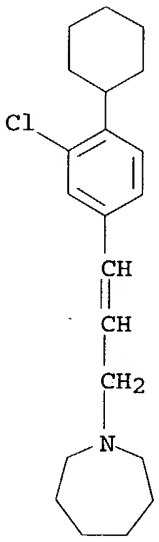
OTHER SOURCE(S): MARPAT 137:333167

AB Treatment of psychotic disorders (e.g. schizophrenia; schizophreniform and schizoaffective disorders) comprises co-therapy with an anticonvulsant derivative (e.g. topiramate) and atypical antipsychotic (e.g. olanzapine).

IT **202720-27-2**, SR 31742
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticonvulsant derivative-atypical antipsychotic co-therapy for psychotic disorders)

RN 202720-27-2 CAPLUS
CN 1H-Azepine, 1-[3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro- (9CI) (CA INDEX NAME)

P



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:553060 CAPLUS
DOCUMENT NUMBER: 137:103907
TITLE: Combination of a serotonin reuptake inhibitor and sigma receptor ligand for the treatment of depression
INVENTOR(S): Howard, Harry Ralph, Jr.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1224930	A1	20020724	EP 2002-250127	20020109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002099045	A1	20020725	US 2001-973614	20011009
US 6436938	B2	20020820		
JP 2002226401	A2	20020814	JP 2002-9637	20020118
BR 2002000155	A	20021015	BR 2002-155	20020121
PRIORITY APPLN. INFO.:			US 2001-263278P P	20010122

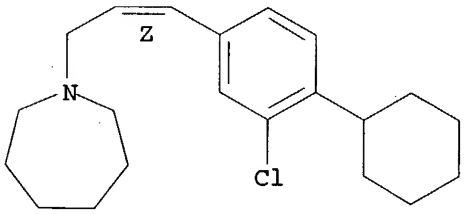
OTHER SOURCE(S): MARPAT 137:103907

AB The invention provides a method for treating depression, especially refractory depression, in a mammal, including a human, by administering to the mammal a sigma receptor ligand in combination with an antidepressant agent. It also provides pharmaceutical compns. containing a pharmaceutically acceptable carrier, a sigma receptor ligand and a serotonin reuptake inhibitor.

IT **139592-99-7**, SR 31742A
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(serotonin reuptake inhibitor-sigma receptor ligand combination for treatment of depression)

RN 139592-99-7 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:521465 CAPLUS
DOCUMENT NUMBER: 137:98994
TITLE: Pharmaceuticals containing a combination of norepinephrine reuptake inhibitors and neuroleptics
INVENTOR(S): Wong, Erik Ho Fong; Gallen, Christopher C.; Svensson, Torgny
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA; Pharmacia AB
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

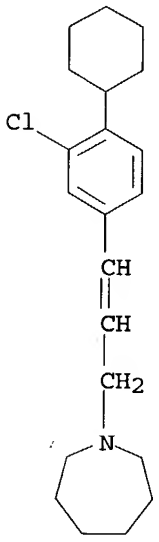
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002053140	A2	20020711	WO 2001-US45871		20011227
WO 2002053140	A3	20021024			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1353675	A2	20031022	EP 2001-991997		20011227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004517112	T2	20040610	JP 2002-554091		20011227
US 2002156067	A1	20021024	US 2001-35100		20011228
PRIORITY APPLN. INFO.:			US 2001-259286P	P	20010102
			WO 2001-US45871	W	20011227

AB A composition comprising: (a) a pharmaceutically effective amount of one or more norepinephrine reuptake inhibitors or a salt; and (b) 1 or more neuroleptics is provided. The composition is useful in treating disorders or diseases of the central nervous system, and particularly useful in treating schizophrenia. A pharmaceutical composition was prepared by combining reboxetine with a neuroleptic in an acceptable carrier. The composition contains 0.01-10 mg rebexetine and 25-300 mg clozapine.

IT **202720-27-2**, SR 31742
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceuticals containing combination of norepinephrine reuptake inhibitors and neuroleptics)

RN 202720-27-2 CAPLUS
CN 1H-Azepine, 1-[3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro- (9CI) (CA INDEX NAME)

P

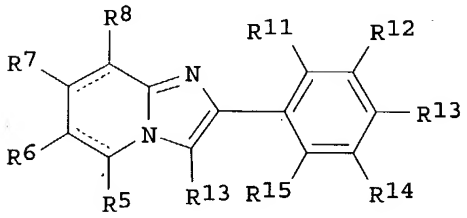


L12 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:747788 CAPLUS
DOCUMENT NUMBER: 135:303887
TITLE: Preparation of imidazo[1,2-a]pyridines as histamine H3 antagonists.
INVENTOR(S): Breitenbucher, J. Guy; Carruthers, Nicholas I.; Li, Xiaobing; Mcatee, Laura C.; Shah, Chandravadan R.; Wolin, Ronald L.
PATENT ASSIGNEE(S): Ortho Mcneil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074815	A2	20011011	WO 2001-US10333	20010329
WO 2001074815	A3	20020404		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001044439	A1	20011122	US 2001-821215	20010329
US 6436939	B2	20020820		
US 2001051632	A1	20011213	US 2001-821234	20010329
US 2002006928	A1	20020117	US 2001-820438	20010329
US 2002006934	A1	20020117	US 2001-821244	20010329
EP 1268478	A2	20030102	EP 2001-922930	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
US 2000-194071P P 20000331
US 2001-272121P P 20010228
WO 2001-US10333 W 20010329

OTHER SOURCE(S): MARPAT 135:303887
GI



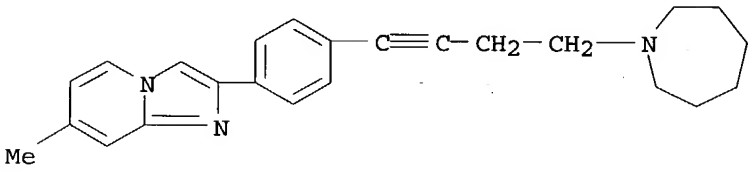
I

AB Title compds. [I; both dashed lines = double bonds, or both are absent; R3 = H, alkyl, Ph; R5-R8 = H, alkyl, alkoxy, halo, amino; 1 of R11-R15 = WYZ, the others = H, alkyl, alkoxy, halo, amino; W = R9, OR9, NR10, CO2R9, CONR10, etc.; R9 = alkylene, alkenylene, alkynylene, phenylene, heterocyclylene; R10 = H, alkyl, alkenyl, alkynyl, Ph, heterocyclyl; Y =

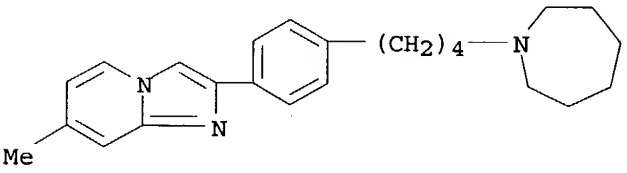
null, alkyl, alkenyl, alkynyl, alkoxy; Z = (substituted) heterocyclyl, amino], were prepared Thus, α-bromo-4-chloropropoxyacetophenone (preparation given) was refluxed 2 h with 2-amino-4-picoline in EtOH to give 2-(4-chloropropoxyphenyl)-7-methylimidazo[1,2-a]pyridine. This was refluxed 5 h with piperidine to give 2-(4-piperidinopropoxyphenyl)-7-methylimidazo[1,2-a]pyridine. The latter bound to human H3 receptors with Ki = 1 nM.

IT **365565-65-7P 365565-67-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazopyridines as histamine H3 antagonists)

RN 365565-65-7 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-[4-[4-(hexahydro-1H-azepin-1-yl)-1-butynyl]phenyl]-7-methyl- (9CI) (CA INDEX NAME)



RN 365565-67-9 CAPLUS
CN Imidazo[1,2-a]pyridine, 2-[4-[4-(hexahydro-1H-azepin-1-yl)butyl]phenyl]-7-methyl- (9CI) (CA INDEX NAME)

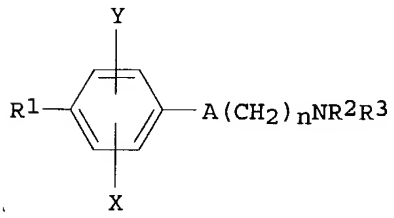


L12 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:31484 CAPLUS
DOCUMENT NUMBER: 134:100775
TITLE: Preparation of antipsychotic cyclic N-aralkyl amines
INVENTOR(S): Boigegrain, Robert; Bourrie, Martine; Lair, Pierre; Paul, Raymond; Poncelet, Martine; Vernieres, Jean-Claude
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002380	A1	20010111	WO 2000-FR1790	20000627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2795724	A1	20010105	FR 1999-8532	19990702
FR 2795724	B1	20021213		
BR 2000012463	A	20020402	BR 2000-12463	20000627
EP 1196403	A1	20020417	EP 2000-946022	20000627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003503487	T2	20030128	JP 2001-507818	20000627
NZ 516342	A	20030829	NZ 2000-516342	20000627
BG 106255	A	20021031	BG 2001-106255	20011220
HR 2001000949	A1	20030430	HR 2001-949	20011221
NO 2001006392	A	20020304	NO 2001-6392	20011227
ZA 2002000018	A	20030102	ZA 2002-18	20020102

PRIORITY APPLN. INFO.: FR 1999-8532 A 19990702
WO 2000-FR1790 W 20000627

OTHER SOURCE(S): MARPAT 134:100775
GI



I

AB The title compds. I [A = C.tplbond.C, CH:CH, CH2CH2; n = 1, 2; X = H, Cl, F, Me, MeO; Y = H, Cl, F; R1 = cyclohexyl, Ph, cycloheptyl, tert-Bu,

dicyclopropylmethyl, bicyclo[3.2.1]octanyl, 4-tetrahydropyranyl, 4-tetrahydrothiopyranyl, adamantyl; R2 and R3 form together with the nitrogen atom to which they are bound a cyclic amine], antipsychotic agents (no data), were prepared E.g., 1-{(Z)-3-[3-chloro-4-(3,5-difluorophenyl)phenyl]propen-2-yl}piperidine hydrochloride was prepared

IT **318275-33-1P 318275-34-2P 318275-35-3P**
318275-36-4P 318275-37-5P 318275-38-6P
318275-39-7P 318275-40-0P 318275-41-1P
318275-42-2P 318275-43-3P 318275-44-4P
318275-45-5P 318275-46-6P 318275-47-7P
318275-48-8P 318275-49-9P 318275-50-2P
318275-51-3P 318275-52-4P 318275-53-5P
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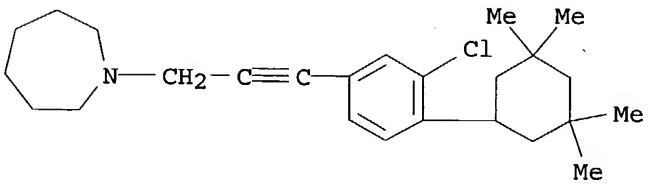
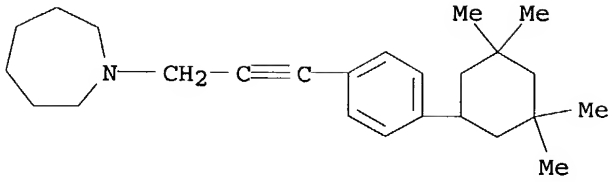
318276-13-0P 318276-15-2P 318276-16-3P
318276-17-4P 318276-18-5P 318276-19-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antipsychotic cyclic N-aralkyl amines)

RN 318275-33-1 CAPLUS
CN 1H-Azepine, 1-[3-[3-chloro-4-(3,3,5,5-tetramethylcyclohexyl)phenyl]-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



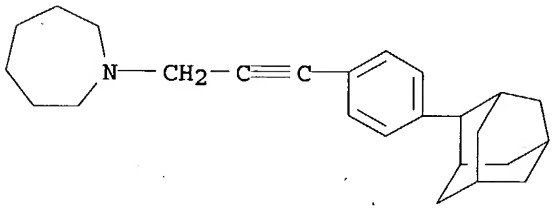
● HCl

RN 318275-34-2 CAPLUS
CN 1H-Azepine, hexahydro-1-[3-[4-(3,3,5,5-tetramethylcyclohexyl)phenyl]-2-propynyl]-, hydrochloride (9CI) (CA INDEX NAME)



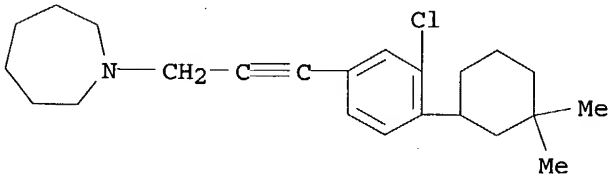
● HCl

RN 318275-35-3 CAPLUS
CN 1H-Azepine, hexahydro-1-[3-(4-tricyclo[3.3.1.13,7]dec-2-ylphenyl)-2-propynyl]-, hydrochloride (9CI) (CA INDEX NAME)



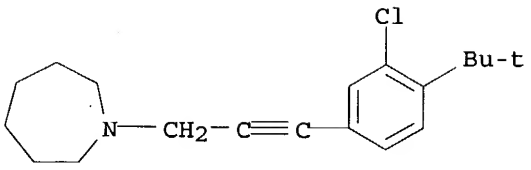
● HCl

RN 318275-36-4 CAPLUS
CN 1H-Azepine, 1-[3-[3-chloro-4-(3,3-dimethylcyclohexyl)phenyl]-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



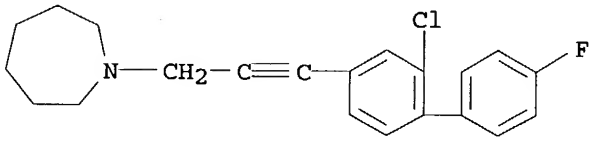
● HCl

RN 318275-37-5 CAPLUS
CN 1H-Azepine, 1-[3-[3-chloro-4-(1,1-dimethylethyl)phenyl]-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



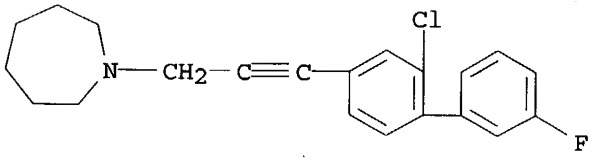
● HCl

RN 318275-38-6 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



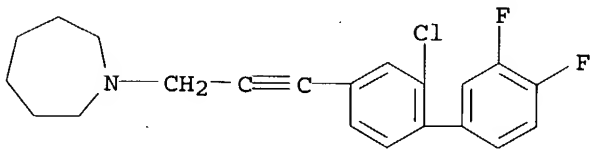
● HCl

RN 318275-39-7 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-3'-fluoro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

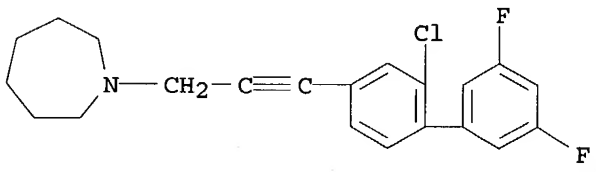


● HCl

RN 318275-40-0 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-3',4'-difluoro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro- (9CI) (CA INDEX NAME)

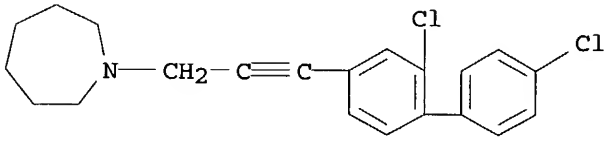


RN 318275-41-1 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-3',5'-difluoro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



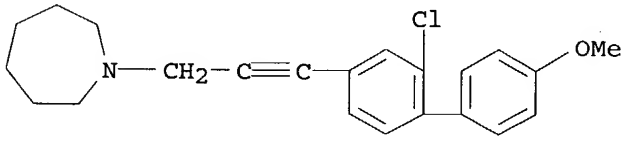
● HCl

RN 318275-42-2 CAPLUS
CN 1H-Azepine, 1-[3-(2,4'-dichloro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



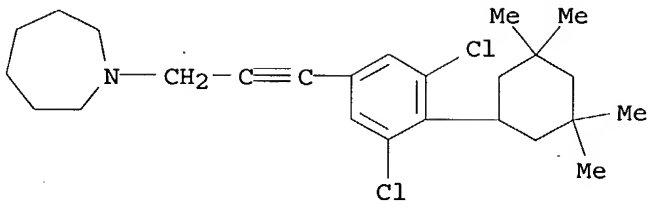
● HCl

RN 318275-43-3 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-4'-methoxy[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

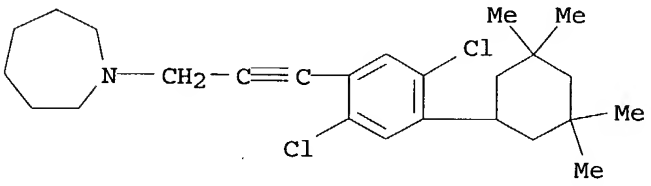


● HCl

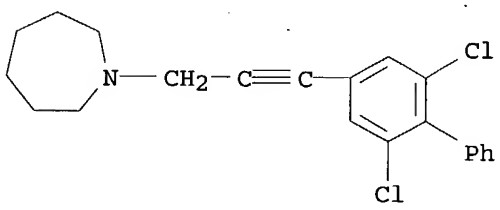
RN 318275-44-4 CAPLUS
CN 1H-Azepine, 1-[3-[3,5-dichloro-4-(3,3,5,5-tetramethylcyclohexyl)phenyl]-2-propynyl]hexahydro- (9CI) (CA INDEX NAME)



RN 318275-45-5 CAPLUS
CN 1H-Azepine, 1-[3-[2,5-dichloro-4-(3,3,5,5-tetramethylcyclohexyl)phenyl]-2-propynyl]hexahydro- (9CI) (CA INDEX NAME)

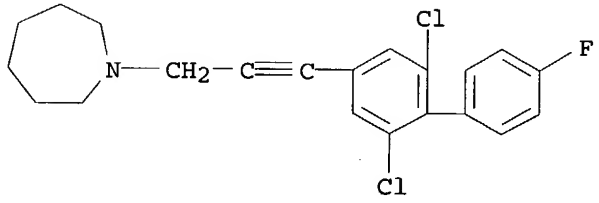


RN 318275-46-6 CAPLUS
CN 1H-Azepine, 1-[3-(2,6-dichloro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



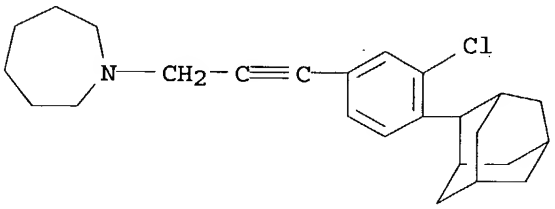
● HCl

RN 318275-47-7 CAPLUS
CN 1H-Azepine, 1-[3-(2,6-dichloro-4'-fluoro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



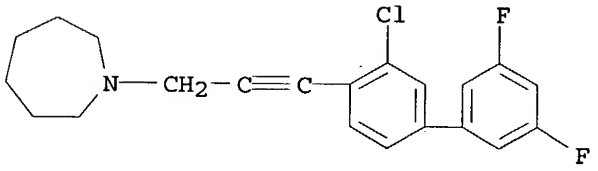
● HCl

RN 318275-48-8 CAPLUS
CN 1H-Azepine, 1-[3-(3-chloro-4-tricyclo[3.3.1.13,7]dec-2-ylphenyl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



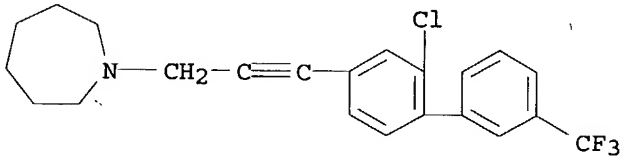
● HCl

RN 318275-49-9 CAPLUS
CN 1H-Azepine, 1-[3-(3-chloro-3',5'-difluoro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



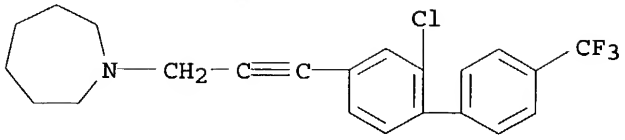
● HCl

RN 318275-50-2 CAPLUS
CN 1H-Azepine, 1-[3-[2-chloro-3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



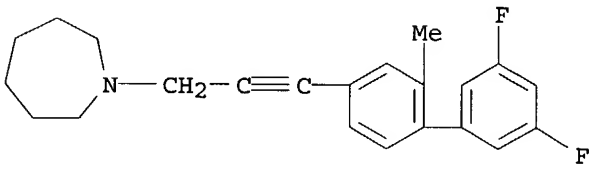
● HCl

RN 318275-51-3 CAPLUS
CN 1H-Azepine, 1-[3-[2-chloro-4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



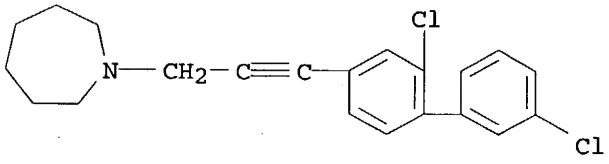
● HCl

RN 318275-52-4 CAPLUS
CN 1H-Azepine, 1-[3-(3',5'-difluoro-2-methyl[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

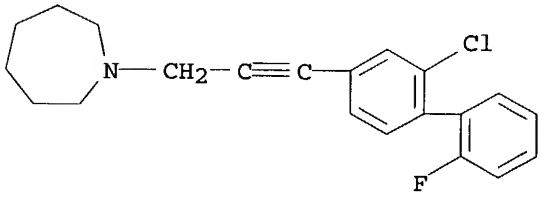


● HCl

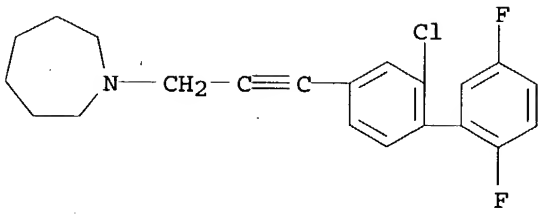
RN 318275-53-5 CAPLUS
CN 1H-Azepine, 1-[3-(2,3'-dichloro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro- (9CI) (CA INDEX NAME)



RN 318275-54-6 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-2'-fluoro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro- (9CI) (CA INDEX NAME)

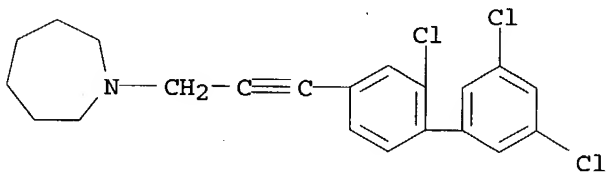


RN 318275-55-7 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-2',5'-difluoro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

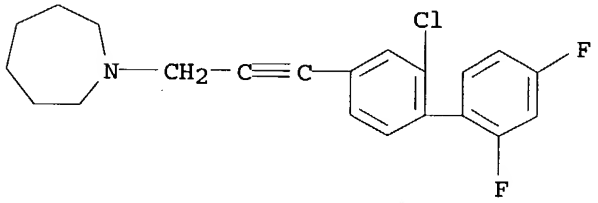


● HCl

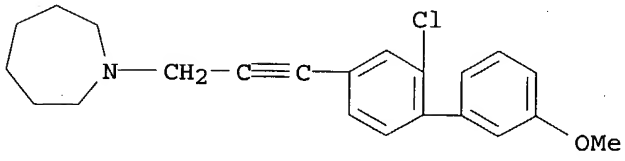
RN 318275-56-8 CAPLUS
CN 1H-Azepine, hexahydro-1-[3-(2,3',5'-trichloro[1,1'-biphenyl]-4-yl)-2-propynyl]- (9CI) (CA INDEX NAME)



RN 318275-57-9 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-2',4'-difluoro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro- (9CI) (CA INDEX NAME)

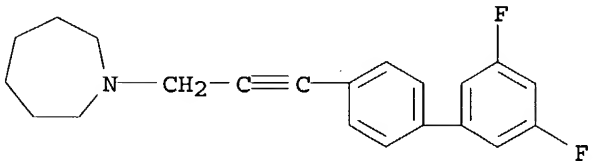


RN 318275-58-0 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-3'-methoxy[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



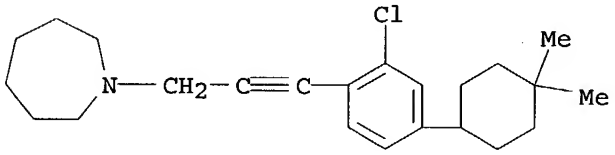
● HCl

RN 318275-59-1 CAPLUS
CN 1H-Azepine, 1-[3-(3',5'-difluoro[1,1'-biphenyl]-4-yl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



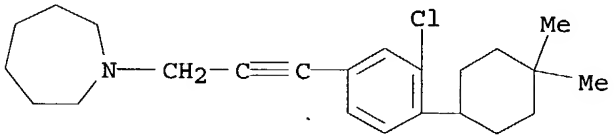
● HCl

RN 318275-60-4 CAPLUS
CN 1H-Azepine, 1-[3-[2-chloro-4-(4,4-dimethylcyclohexyl)phenyl]-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

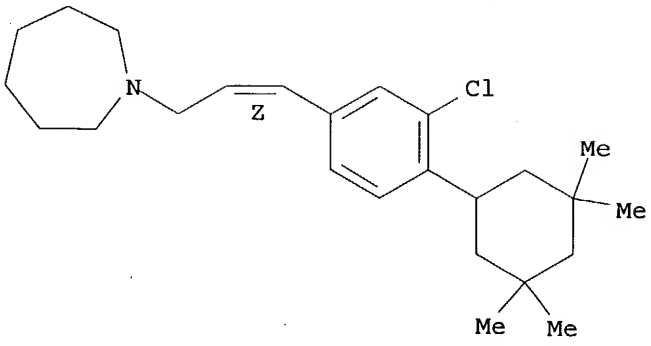
RN 318275-63-7 CAPLUS
CN 1H-Azepine, 1-[3-[3-chloro-4-(4,4-dimethylcyclohexyl)phenyl]-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 318275-64-8 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-[3-chloro-4-(3,3,5,5-tetramethylcyclohexyl)phenyl]-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

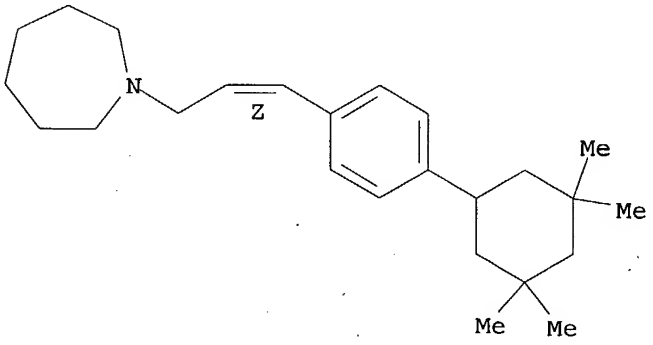
Double bond geometry as shown.



● HCl

RN 318275-65-9 CAPLUS
CN 1H-Azepine, hexahydro-1-[(2Z)-3-[4-(3,3,5,5-tetramethylcyclohexyl)phenyl]-2-propenyl]-, hydrochloride (9CI) (CA INDEX NAME)

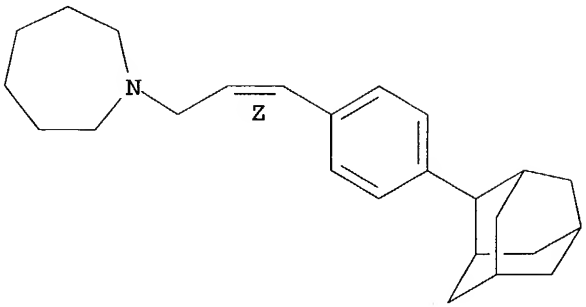
Double bond geometry as shown.



● HCl

RN 318275-66-0 CAPLUS
CN 1H-Azepine, hexahydro-1-[(2Z)-3-(4-tricyclo[3.3.1.13,7]dec-2-ylphenyl)-2-propenyl]-, hydrochloride (9CI) (CA INDEX NAME)

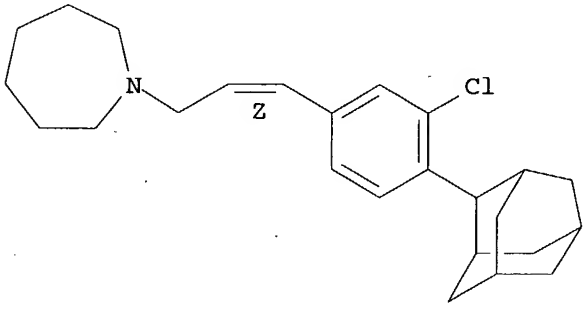
Double bond geometry as shown.



● HCl

RN 318275-67-1 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(3-chloro-4-tricyclo[3.3.1.13,7]dec-2-ylphenyl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

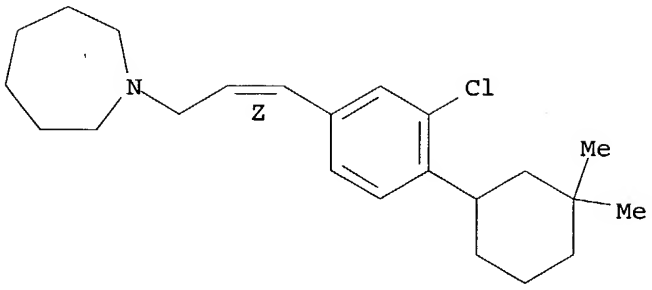
Double bond geometry as shown.



● HCl

RN 318275-68-2 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-[3-chloro-4-(3,3-dimethylcyclohexyl)phenyl]-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

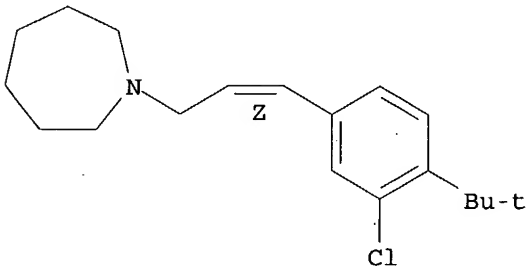
Double bond geometry as shown.



● HCl

RN 318275-69-3 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-[3-chloro-4-(1,1-dimethylethyl)phenyl]-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

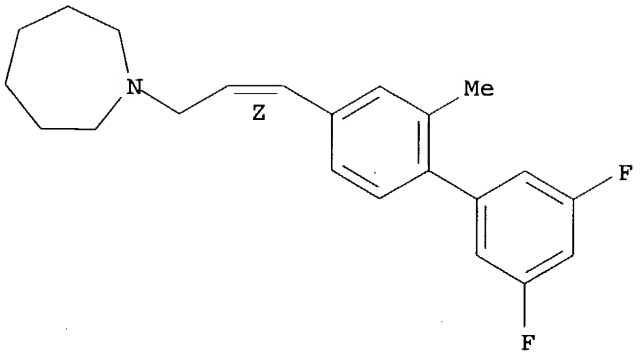
Double bond geometry as shown.



● HCl

RN 318275-70-6 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(3',5'-difluoro-2-methyl[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

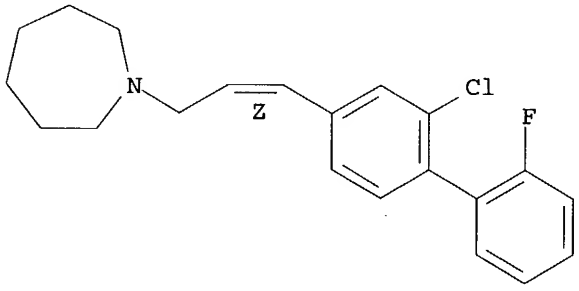
Double bond geometry as shown.



● HCl

RN 318275-71-7 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-2'-fluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

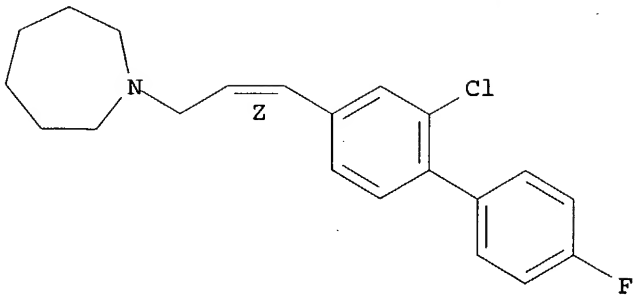
Double bond geometry as shown.



● HCl

RN 318275-72-8 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

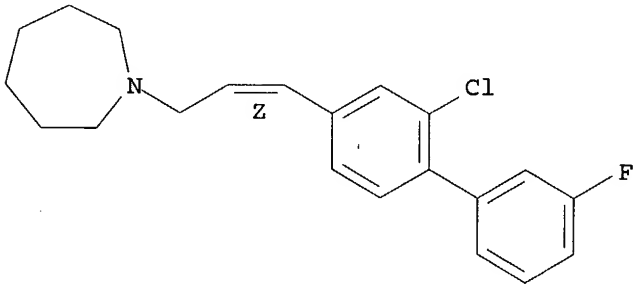
Double bond geometry as shown.



● HCl

RN 318275-73-9 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-3'-fluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

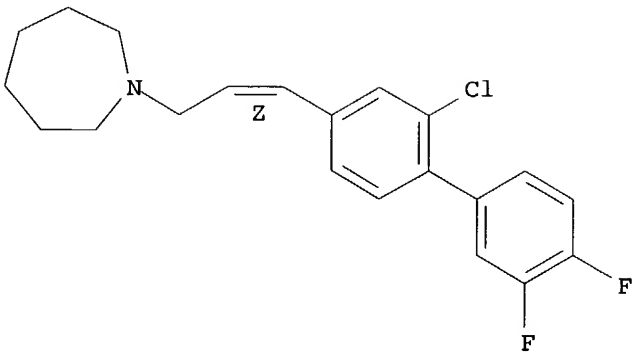
Double bond geometry as shown.



● HCl

RN 318275-74-0 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-3',4'-difluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

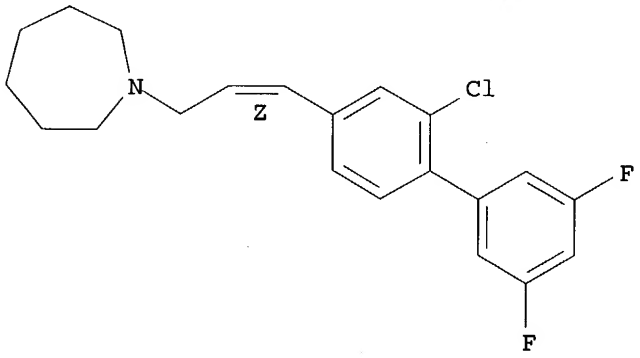
Double bond geometry as shown.



● HCl

RN 318275-75-1 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-3',5'-difluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

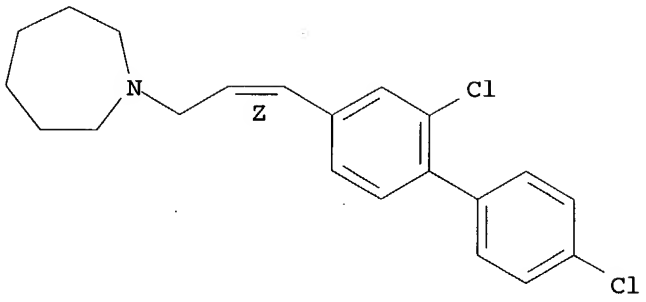
Double bond geometry as shown.



● HCl

RN 318275-76-2 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2,4'-dichloro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

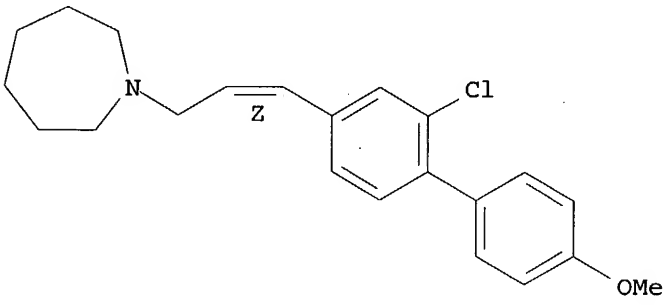
Double bond geometry as shown.



● HCl

RN 318275-77-3 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-4'-methoxy[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

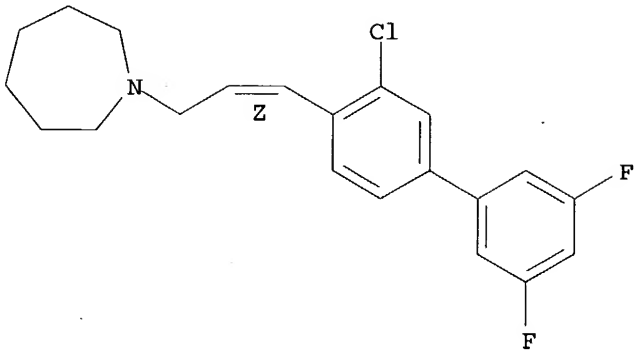
Double bond geometry as shown.



● HCl

RN 318275-78-4 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(3-chloro-3',5'-difluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

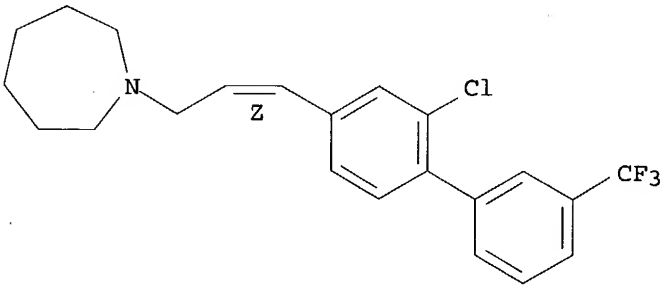
Double bond geometry as shown.



● HCl

RN 318275-79-5 CAPLUS
CN 1H-Àzepine, 1-[(2Z)-3-[2-chloro-3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

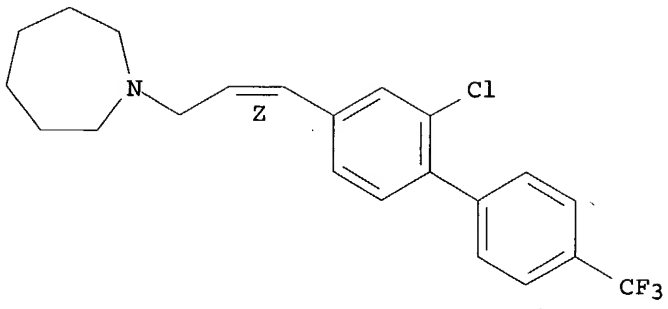
Double bond geometry as shown.



● HCl

RN 318275-80-8 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-[2-chloro-4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

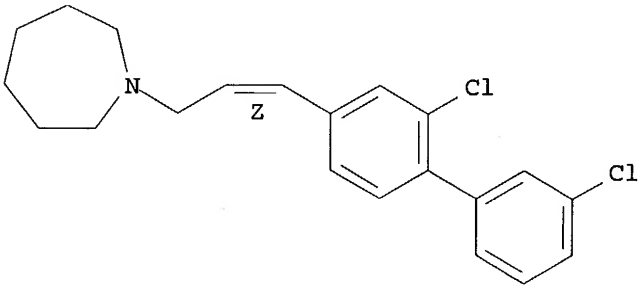
Double bond geometry as shown.



● HCl

RN 318275-81-9 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2,3'-dichloro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

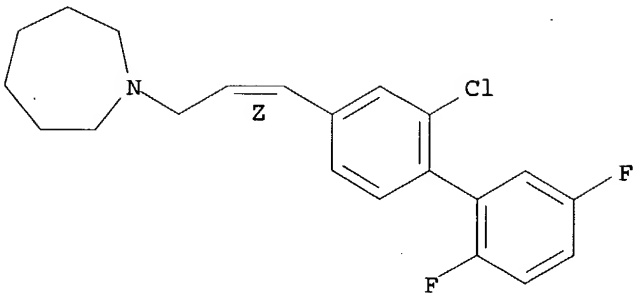
Double bond geometry as shown.



● HCl

RN 318275-82-0 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-2',5'-difluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

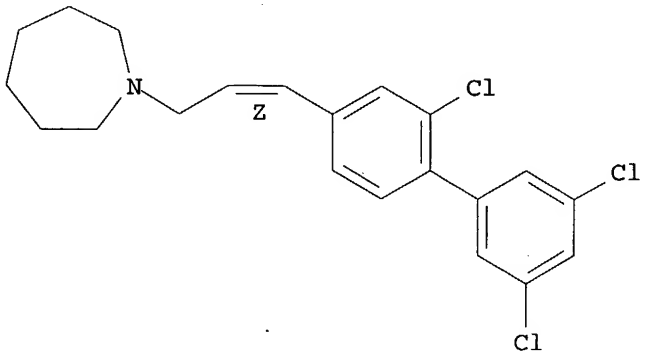
Double bond geometry as shown.



● HCl

RN 318275-83-1 CAPLUS
CN 1H-Azepine, hexahydro-1-[(2Z)-3-(2,3',5'-trichloro[1,1'-biphenyl]-4-yl)-2-propenyl]-, hydrochloride (9CI) (CA INDEX NAME)

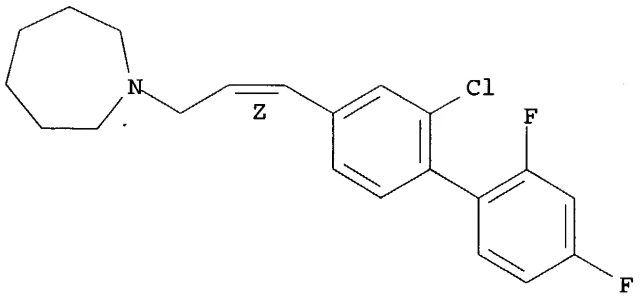
Double bond geometry as shown.



● HCl

RN 318275-84-2 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-2',4'-difluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

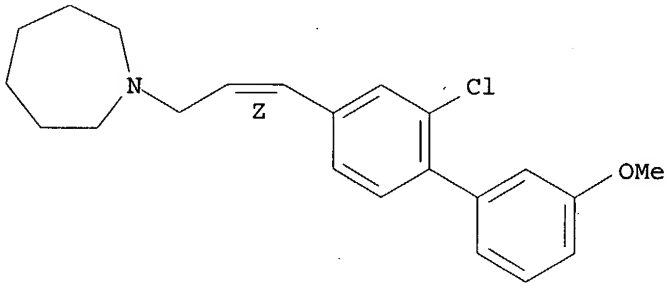
Double bond geometry as shown.



● HCl

RN 318275-85-3 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-3'-methoxy[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

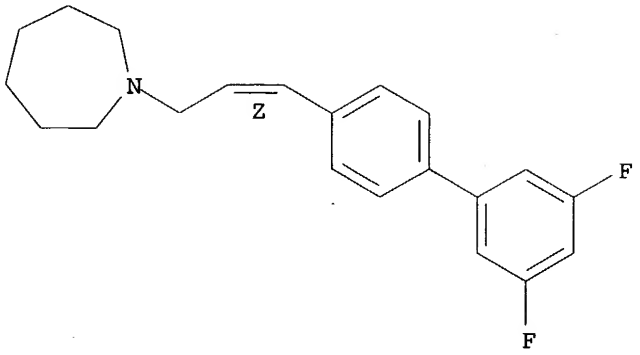
Double bond geometry as shown.



● HCl

RN 318275-86-4 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(3',5'-difluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

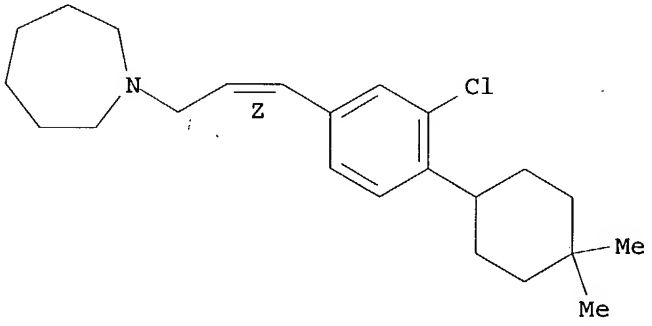
Double bond geometry as shown.



● HCl

RN 318275-87-5 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-[3-chloro-4-(4,4-dimethylcyclohexyl)phenyl]-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

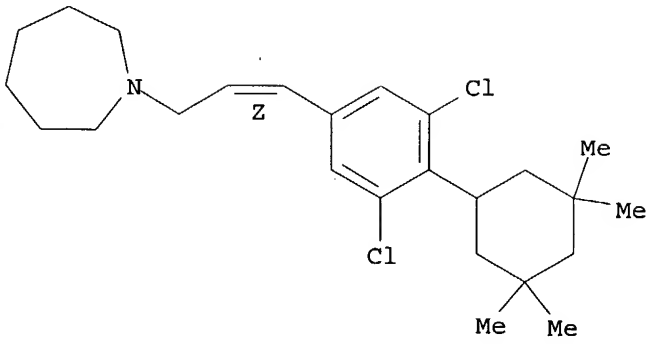
Double bond geometry as shown.



● HCl

RN 318275-88-6 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-[3,5-dichloro-4-(3,3,5,5-tetramethylcyclohexyl)phenyl]-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

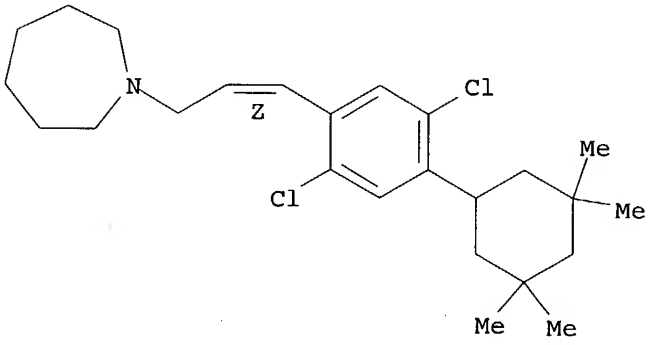
Double bond geometry as shown.



● HCl

RN 318275-89-7 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-[2,5-dichloro-4-(3,3,5,5-tetramethylcyclohexyl)phenyl]-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

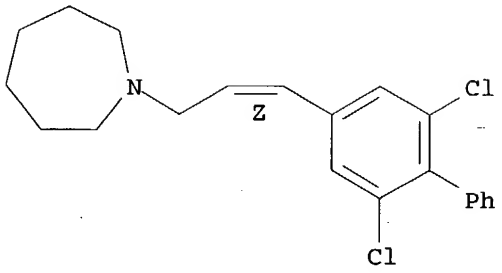
Double bond geometry as shown.



● HCl

RN 318275-90-0 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2,6-dichloro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

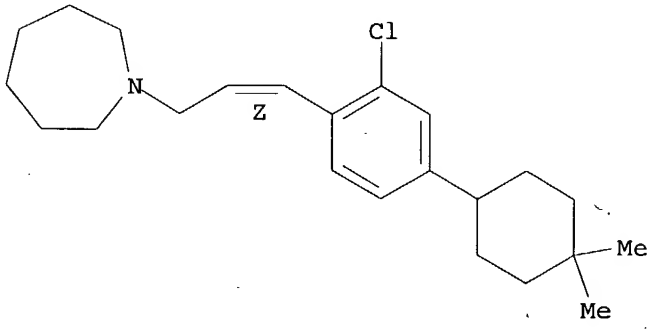
Double bond geometry as shown.



● HCl

RN 318275-91-1 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-[2-chloro-4-(4,4-dimethylcyclohexyl)phenyl]-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

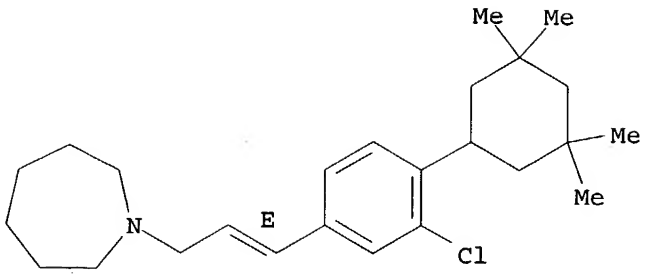
Double bond geometry as shown.



● HCl

RN 318275-94-4 CAPLUS
CN 1H-Azepine, 1-[(2E)-3-[3-chloro-4-(3,3,5,5-tetramethylcyclohexyl)phenyl]-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

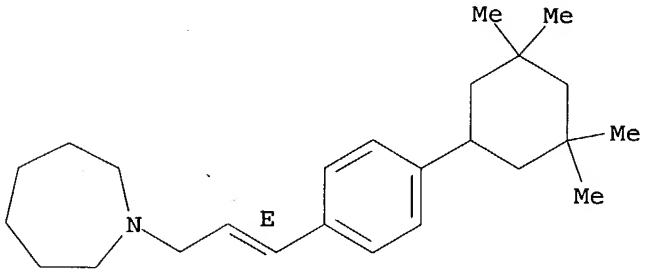
Double bond geometry as shown.



● HCl

RN 318275-95-5 CAPLUS
CN 1H-Azepine, hexahydro-1-[(2E)-3-[4-(3,3,5,5-tetramethylcyclohexyl)phenyl]-2-propenyl]-, hydrochloride (9CI) (CA INDEX NAME)

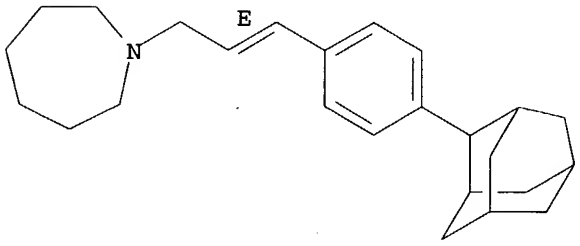
Double bond geometry as shown.



● HCl

RN 318275-96-6 CAPLUS
CN 1H-Azepine, hexahydro-1-[(2E)-3-(4-tricyclo[3.3.1.13,7]dec-2-ylphenyl)-2-propenyl]-, hydrochloride (9CI) (CA INDEX NAME)

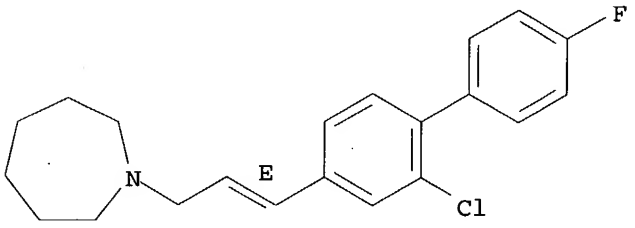
Double bond geometry as shown.



● HCl

RN 318275-97-7 CAPLUS
CN 1H-Azepine, 1-[(2E)-3-(2-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

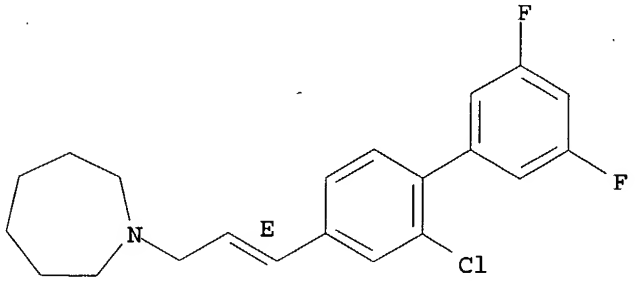
Double bond geometry as shown.



● HCl

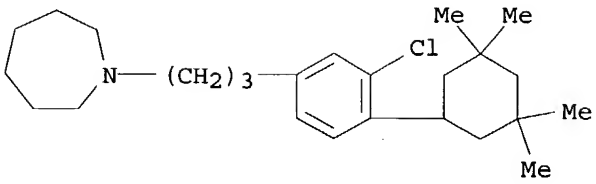
RN 318275-98-8 CAPLUS
CN 1H-Azepine, 1-[(2E)-3-(2-chloro-3',5'-difluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



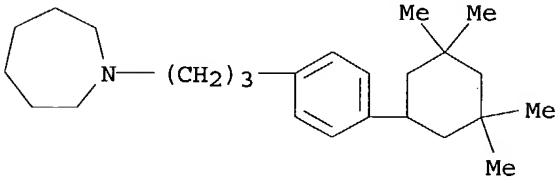
● HCl

RN 318275-99-9 CAPLUS
CN 1H-Azepine, 1-[3-[3-chloro-4-(3,3,5,5-tetramethylcyclohexyl)phenyl]propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



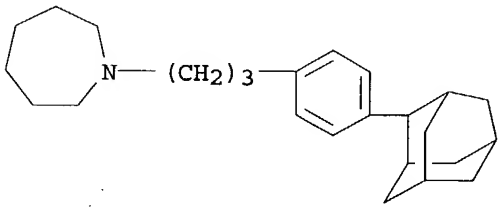
● HCl

RN 318276-00-5 CAPLUS
CN 1H-Azepine, hexahydro-1-[3-[4-(3,3,5,5-tetramethylcyclohexyl)phenyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)



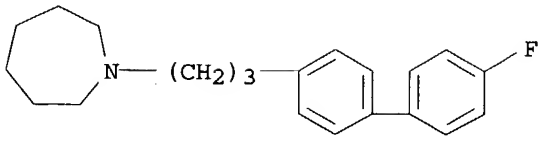
● HCl

RN 318276-01-6 CAPLUS
CN 1H-Azepine, hexahydro-1-[3-(4-tricyclo[3.3.1.13,7]dec-2-ylphenyl)propyl]-, hydrochloride (9CI) (CA INDEX NAME)



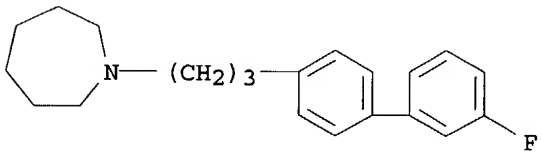
● HCl

RN 318276-02-7 CAPLUS
CN 1H-Azepine, 1-[3-(4'-fluoro[1,1'-biphenyl]-4-yl)propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



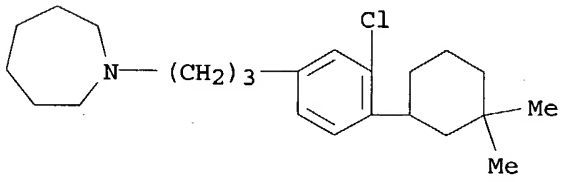
● HCl

RN 318276-03-8 CAPLUS
CN 1H-Azepine, 1-[3-(3'-fluoro[1,1'-biphenyl]-4-yl)propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



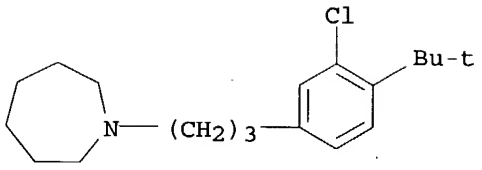
● HCl

RN 318276-04-9 CAPLUS
CN 1H-Azepine, 1-[3-[3-chloro-4-(3,3-dimethylcyclohexyl)phenyl]propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



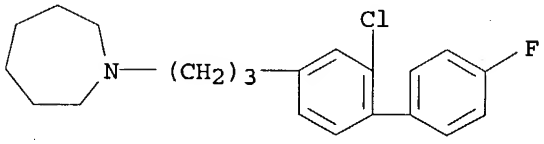
● HCl

RN 318276-05-0 CAPLUS
CN 1H-Azepine, 1-[3-[3-chloro-4-(1,1-dimethylethyl)phenyl]propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



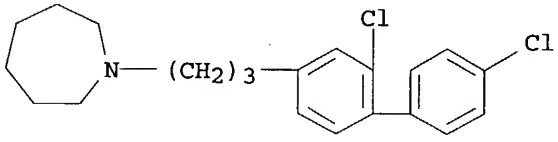
● HCl

RN 318276-06-1 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 318276-07-2 CAPLUS
CN 1H-Azepine, 1-[3-(2,4'-dichloro[1,1'-biphenyl]-4-yl)propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

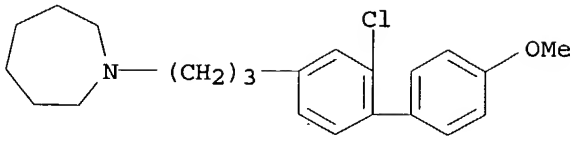


● HCl

RN 318276-09-4 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-4'-methoxy[1,1'-biphenyl]-4-yl)propyl]hexahydro-, trifluoroacetate (9CI) (CA INDEX NAME)

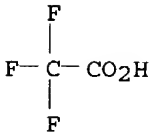
CM 1

CRN 318276-08-3
CMF C22 H28 Cl N O

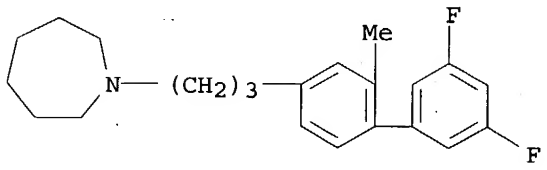


CM 2

CRN 76-05-1
CMF C2 H F3 O2

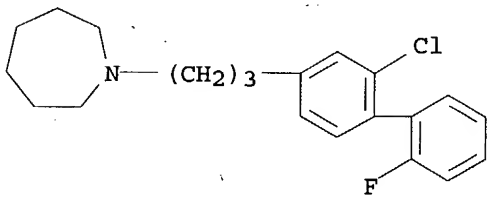


RN 318276-10-7 CAPLUS
CN 1H-Azepine, 1-[3-(3',5'-difluoro-2-methyl[1,1'-biphenyl]-4-yl)propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



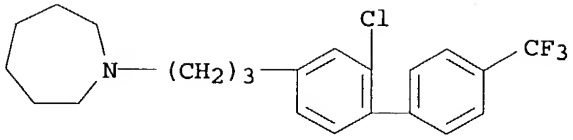
● HCl

RN 318276-11-8 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-2'-fluoro[1,1'-biphenyl]-4-yl)propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



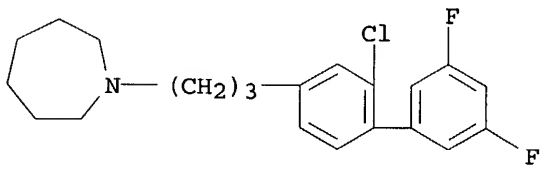
● HCl

RN 318276-12-9 CAPLUS
CN 1H-Azepine, 1-[3-[2-chloro-4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 318276-13-0 CAPLUS
CN 1H-Azepine, 1-[3-(2-chloro-3',5'-difluoro[1,1'-biphenyl]-4-yl)propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

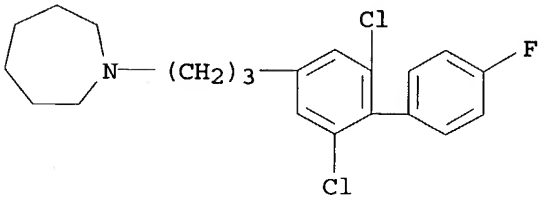


● HCl

RN 318276-15-2 CAPLUS
CN 1H-Azepine, 1-[3-(2,6-dichloro-4'-fluoro[1,1'-biphenyl]-4-yl)propyl]hexahydro-, trifluoroacetate (9CI) (CA INDEX NAME)

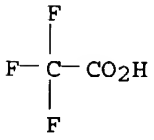
CM 1

CRN 318276-14-1
CMF C21 H24 Cl2 F N

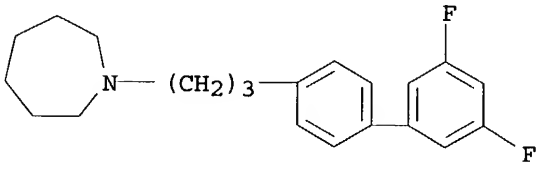


CM 2

CRN 76-05-1
CMF C2 H F3 O2



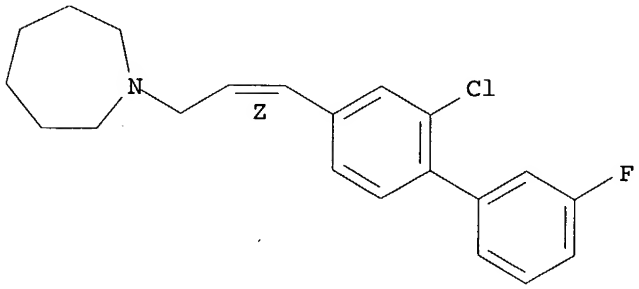
RN 318276-16-3 CAPLUS
CN 1H-Azepine, 1-[3-(3',5'-difluoro[1,1'-biphenyl]-4-yl)propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

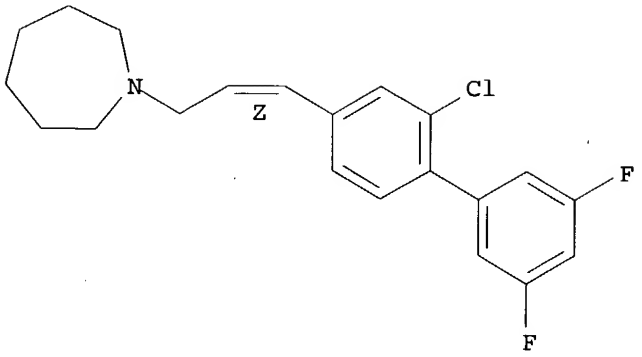
RN 318276-17-4 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-3'-fluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



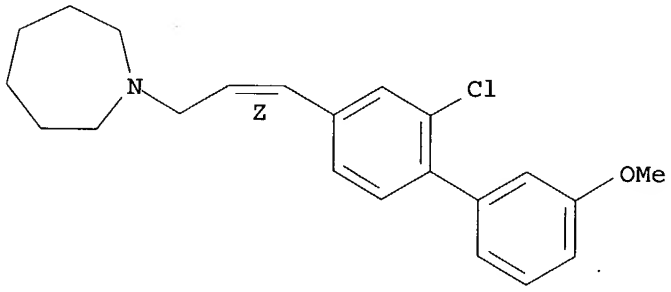
RN 318276-18-5 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-3',5'-difluoro[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

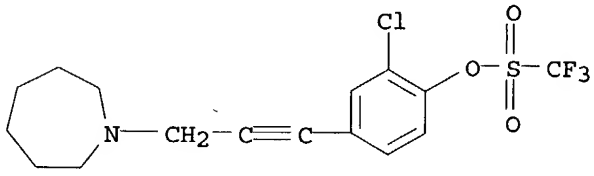


RN 318276-19-6 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(2-chloro-3'-methoxy[1,1'-biphenyl]-4-yl)-2-propenyl]hexahydro- (9CI) (CA INDEX NAME)

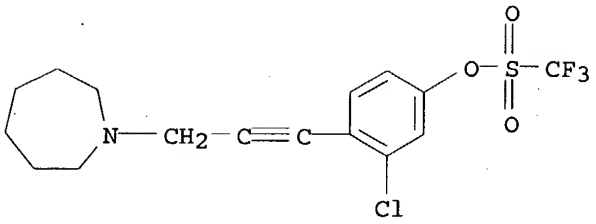
Double bond geometry as shown.



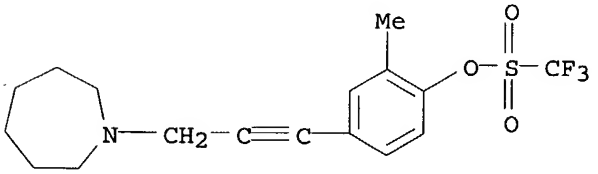
IT **318276-22-1P 318276-23-2P 318276-24-3P**
318276-27-6P 318276-40-3P 318276-41-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antipsychotic cyclic N-aralkyl amines)
RN 318276-22-1 CAPLUS
CN Methanesulfonic acid, trifluoro-, 2-chloro-4-[3-(hexahydro-1H-azepin-1-yl)-1-propynyl]phenyl ester (9CI) (CA INDEX NAME)



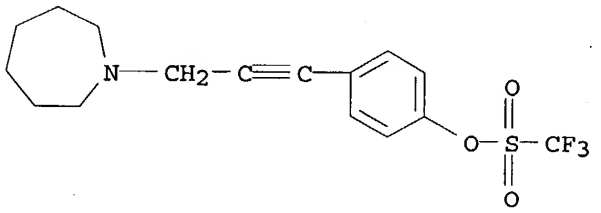
RN 318276-23-2 CAPLUS
CN Methanesulfonic acid, trifluoro-, 3-chloro-4-[3-(hexahydro-1H-azepin-1-yl)-1-propynyl]phenyl ester (9CI) (CA INDEX NAME)



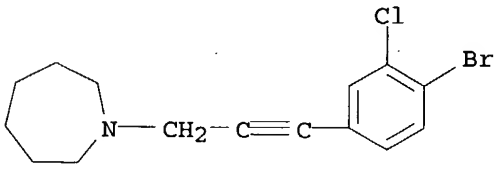
RN 318276-24-3 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-[3-(hexahydro-1H-azepin-1-yl)-1-propynyl]-2-methylphenyl ester (9CI) (CA INDEX NAME)



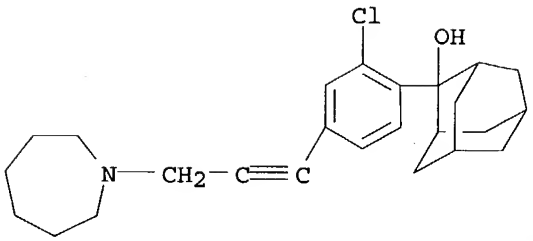
RN 318276-27-6 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-[3-(hexahydro-1H-azepin-1-yl)-1-propynyl]phenyl ester (9CI) (CA INDEX NAME)



RN 318276-40-3 CAPLUS
CN 1H-Azepine, 1-[3-(4-bromo-3-chlorophenyl)-2-propynyl]hexahydro- (9CI) (CA INDEX NAME)



RN 318276-41-4 CAPLUS
CN Tricyclo[3.3.1.13,7]decan-2-ol, 2-[2-chloro-4-[3-(hexahydro-1H-azepin-1-yl)-1-propynyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:475653 CAPLUS
DOCUMENT NUMBER: 133:89556
TITLE: Preparation of oxazepine derivatives and drugs containing the same
INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko; Takahashi, Kazuyoshi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040570	A1	20000713	WO 2000-JP71	20000111
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1142884	A1	20011010	EP 2000-900167	20000111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002099047	A1	20020725	US 2001-899928	20010709
US 6528504	B2	20030304		

PRIORITY APPLN. INFO.:
JP 1999-3268 A 19990108
JP 1999-3269 A 19990108
JP 1999-3270 A 19990108
WO 2000-JP71 W 20000111

OTHER SOURCE(S): MARPAT 133:89556
GI

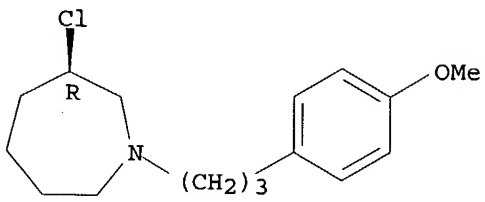
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; A = Q, Q1, Q2; R = H, Cl, (CH3)2N, CH3O; R1 = CH3O, N(CH3)2, H; R-R1 = OCH2O; n = 2, 3;], salts, stereoisomers, and drug compns. containing I are prepared and are useful in the treatment or prevention of motor function disorder of digestive tract, particularly intestinal diseases including irritable bowel syndrome. Thus, the title compds. (R)-5,11-Dihydro-5-[1-(4-methoxyphenethyl)-piperidin-2-ylmethyl]dibenzo[b,e][1,4] oxazepine and (R)-5,11-dihydro-5-[1-(4-dimethylaminophenethyl)-piperidin-2-ylmethyl]dibenzo[b, e][1,4]oxazepin were prepared and tested.

IT **281677-63-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazepine derivs. and drugs containing the same)

RN 281677-63-2 CAPLUS
CN 1H-Azepine, 3-chlorohexahydro-1-[3-(4-methoxyphenyl)propyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

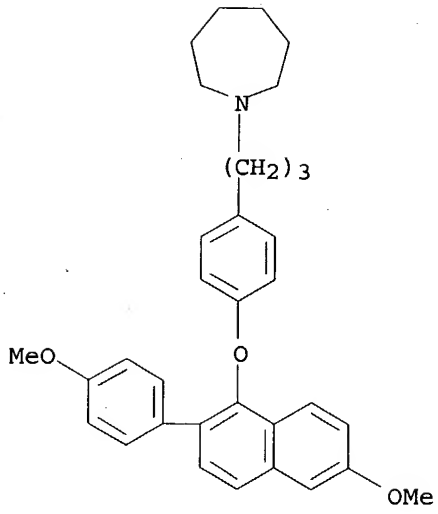
L12 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:417369 CAPLUS
DOCUMENT NUMBER: 131:87720
TITLE: Preparation of 4-(naphthyloxy)phenylpropenoates and analogs as estrogen receptor ligands
INVENTOR(S): Hauser, Kenneth Lee; Palkowitz, Alan David
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5916916	A	19990629	US 1997-939575	19970929
CA 2217571	AA	19980410	CA 1997-2217571	19971007
AT 255570	E	20031215	AT 1997-307994	19971009
JP 10204028	A2	19980804	JP 1997-278922	19971013
PRIORITY APPLN. INFO.:			US 1996-27686P P	19961010
OTHER SOURCE(S):	MARPAT 131:87720			

AB 4-(R4Z1Z2)C6H4OZR [I; R = (un)substituted Ph; R4 = OH, alkoxy, piperidino, etc.; Z = 6-(un)substituted 1,2-naphthylene; Z1 = bond or CO; Z2 = alkylene, CH:CH, CH2CH:CH, CH2CH2CH:CH] were prepared for treatment of, e.g., bone resorption. Thus, HO2CCH2C6H4(OMe)-4 was alkylated by 3-(MeO)C6H4CH2CH2Br and the cyclized product dehydrogenated to give R1OZC6H4(OMe)-4 (Z = 6-methoxy-1,2-naphthylene)(II; R1 = H) which was etherified by 4-FC6H4CHO and the product condensed with (EtO)2P(O)CH2CO2Et to give II [R1 = 4-(EtO2CCH:CH)C6H4]. Data for biol. activity of I were given.

IT **205863-78-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-(naphthyloxy)phenylpropenoates and analogs as estrogen receptor ligands)

RN 205863-78-1 CAPLUS
CN 1H-Azepine, hexahydro-1-[3-[4-[[6-methoxy-2-(4-methoxyphenyl)-1-naphthalenyl]oxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

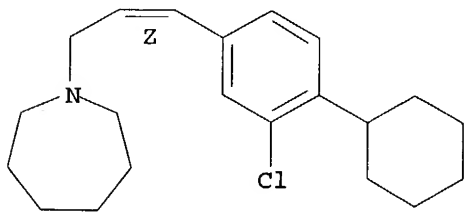
L12 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:611188 CAPLUS
DOCUMENT NUMBER: 130:32895
TITLE: Biphasic modulatory action of the selective sigma receptor ligand SR 31742A on N-methyl-d-aspartate-induced neuronal responses in the frontal cortex
AUTHOR(S): Liang, Xiaofu; Wang, Rex Y.
CORPORATE SOURCE: South Campus, Putnam Hall, State University of New York at Stony Brook, Department of Psychiatry and Behavioral Science, Stony Brook, NY, 11794-8790, USA
SOURCE: Brain Research (1998), 807(1,2), 208-213
CODEN: BRREAP; ISSN: 0006-8993
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The technique of intracellular recording was used to assess the effect of SR 31742A, a selective sigma receptor ligand, on N-methyl-d-aspartate (NMDA) and (±)-α-amino-3-hydroxy-5-methylisoxazole-4-propionic acid (AMPA) receptor-mediated responses in pyramidal cells of the rat medial prefrontal cortex in vitro brain slice prepns. Bath application of SR 31742A produced a biphasic effect on NMDA responses: SR 31742A facilitated and inhibited NMDA-induced inward current at low (0.01, 0.05 and 0.1 μM) and higher (0.5, 1 and 10 μM) concns., resp. The potentiating effect reached the peak (366%) at 0.1 μM, with an estimated EC50 value of 23 nM. Correspondingly, SR 31742A also produced a similar biphasic modulatory action on excitatory postsynaptic potentials or currents (EPSPs/EPSCs) evoked by elec. stimulation of the forceps minor. In contrast, SR 31742A produced a modest potentiation of AMPA responses at the concns. from 0.01 to 1 μM. The potentiating action of SR 31742A on NMDA-receptor mediated neurotransmission may account for, at least partially, its antipsychotic and cognitive-enhancing potential, whereas the inhibitory action on NMDA responses at higher concns. may be related to the purported neuroprotective action of sigma receptor ligands.

IT **139592-99-7**, SR 31742A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selective sigma receptor ligand SR 31742A biphasic modulatory action on NMDA-induced neuronal responses in the frontal cortex)

RN 139592-99-7 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



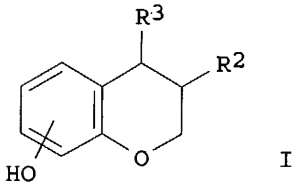
● HCl

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS

L12 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:293488 CAPLUS
DOCUMENT NUMBER: 128:321562
TITLE: Preparation of novel cis-3,4-chroman derivatives useful in the prevention or treatment of estrogen related diseases or syndromes
INVENTOR(S): Jacobsen, Poul; Treppendahl, Svend; Bury, Paul Stanley; Kanstrup, Anders; Christiansen, Lise Brown
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9818777	A1	19980507	WO 1997-DK484		19971028
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
US 5919817	A	19990706	US 1997-958015		19971027
ZA 9709648	A	19980428	ZA 1997-9648		19971028
AU 9747721	A1	19980522	AU 1997-47721		19971028
EP 937061	A1	19990825	EP 1997-910265		19971028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO					
JP 2001502709	T2	20010227	JP 1998-519943		19971028
NO 9902004	A	19990625	NO 1999-2004		19990427
PRIORITY APPLN. INFO.:			DK 1996-1198	A	19961028
			WO 1997-DK484	W	19971028

OTHER SOURCE(S): MARPAT 128:321562
GI



I

AB The title compds. [cis-I; R2 = (un)substituted Ph; R3 = Ph substituted with X(CH2)nY (wherein X = a valency bond, S; n = 1-12; Y = H, halo, OH, etc.)], useful in the prevention or treatment of bone loss, osteoporosis, cardiovascular diseases, cognitive disorders, senile dementia-Alzheimer's type, menopausal symptoms, estrogen-dependent cancers, etc., were prepared and formulated. Thus, treatment of 1-hexene with 9-BBN in THF followed by addition of dioxane, Cs2CO2, Pd(Ph3P)4, and (±)-cis-4-(7-methoxy-3-

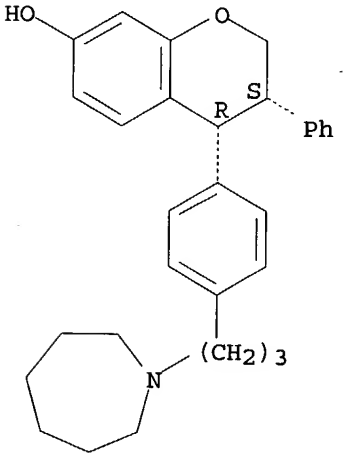
phenylchroman-4-yl)phenyl trifluoromethanesulfonic acid ester, and demethylation of the resulting (±)-cis -4-(4-hexylphenyl)-7-methoxy-3-phenylchromane with pyridine.HCl afforded (±)-cis-I [R2 = Ph; R3 = 4-hexylphenyl; HO is attached to 7-position]. Compds. I are effective at 10-100 mg/day when administered to patients, e.g. humans.

IT **207293-63-8P 207293-64-9P 207293-98-9P**
207293-99-0P 207294-28-8P 207294-29-9P
207294-58-4P 207294-59-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel cis-3,4-chroman derivs. useful in the prevention or treatment of estrogen related diseases or syndromes)

RN 207293-63-8 CAPLUS

CN 2H-1-Benzopyran-7-ol, 4-[4-[3-(hexahydro-1H-azepin-1-yl)propyl]phenyl]-3,4-dihydro-3-phenyl-, (3R,4S)-rel-(-)- (9CI) (CA INDEX NAME)

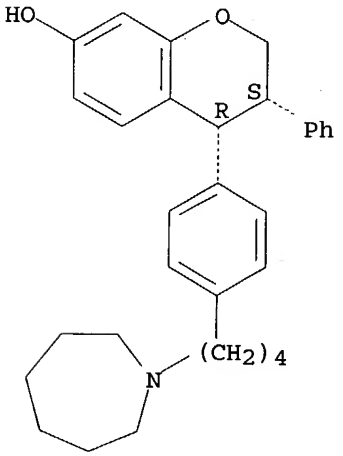
Rotation (-). Absolute stereochemistry unknown.



RN 207293-64-9 CAPLUS

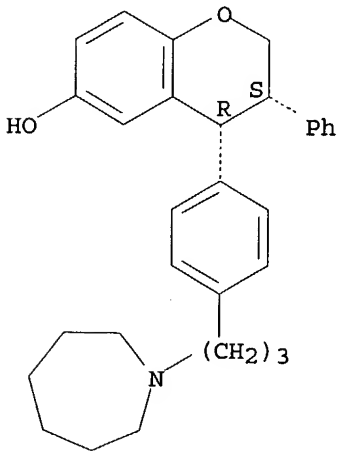
CN 2H-1-Benzopyran-7-ol, 4-[4-[4-(hexahydro-1H-azepin-1-yl)butyl]phenyl]-3,4-dihydro-3-phenyl-, (3R,4S)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



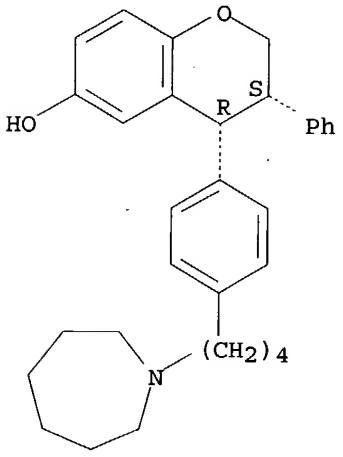
RN 207293-98-9 CAPLUS
CN 2H-1-Benzopyran-6-ol, 4-[4-[3-(hexahydro-1H-azepin-1-yl)propyl]phenyl]-3,4-dihydro-3-phenyl-, (3R,4S)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



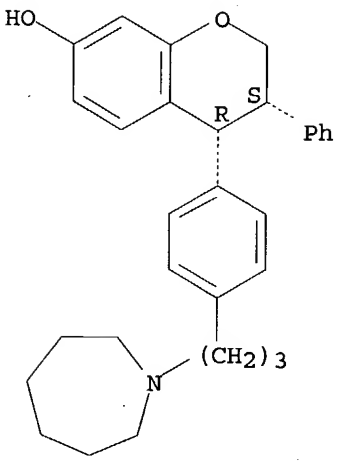
RN 207293-99-0 CAPLUS
CN 2H-1-Benzopyran-6-ol, 4-[4-[4-(hexahydro-1H-azepin-1-yl)butyl]phenyl]-3,4-dihydro-3-phenyl-, (3R,4S)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



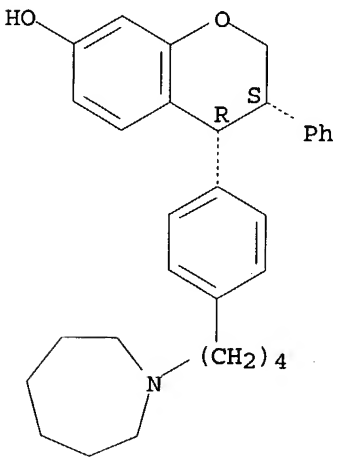
RN 207294-28-8 CAPLUS
CN 2H-1-Benzopyran-7-ol, 4-[4-[3-(hexahydro-1H-azepin-1-yl)propyl]phenyl]-3,4-dihydro-3-phenyl-, (3R,4S)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



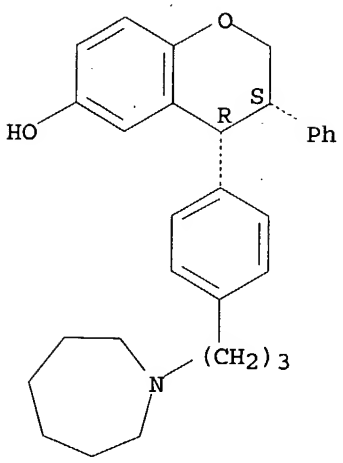
RN 207294-29-9 CAPLUS
CN 2H-1-Benzopyran-7-ol, 4-[4-[4-(hexahydro-1H-azepin-1-yl)butyl]phenyl]-3,4-dihydro-3-phenyl-, (3R,4S)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



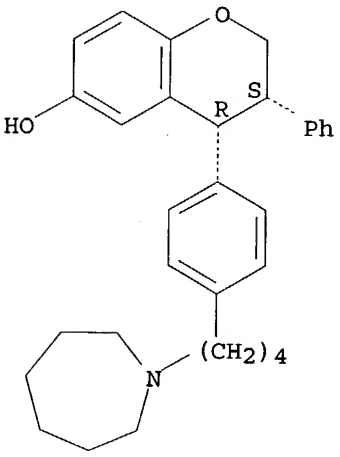
RN 207294-58-4 CAPLUS
CN 2H-1-Benzopyran-6-ol, 4-[4-[3-(hexahydro-1H-azepin-1-yl)propyl]phenyl]-3,4-dihydro-3-phenyl-, (3R,4S)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



RN 207294-59-5 CAPLUS
CN 2H-1-Benzopyran-6-ol, 4-[4-[4-(hexahydro-1H-azepin-1-yl)butyl]phenyl]-3,4-dihydro-3-phenyl-, (3R,4S)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

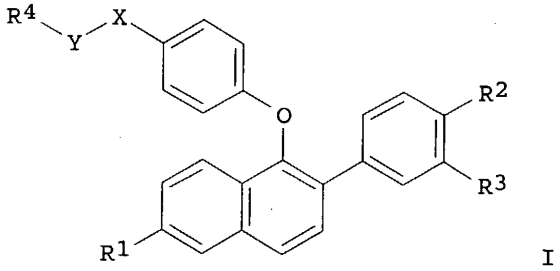


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:265725 CAPLUS
DOCUMENT NUMBER: 128:282705
TITLE: 1-Aryloxy-2-arylnaphthyl compounds, intermediates, compositions, and methods
INVENTOR(S): Hauser, Kenneth Lee; Palkowitz, Alan David
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 835867	A1	19980415	EP 1997-307994	19971009
EP 835867	B1	20031203		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2217571	AA	19980410	CA 1997-2217571	19971007
AT 255570	E	20031215	AT 1997-307994	19971009
JP 10204028	A2	19980804	JP 1997-278922	19971013

PRIORITY APPLN. INFO.: US 1996-27686P P 19961010
OTHER SOURCE(S): MARPAT 128:282705
GI



I

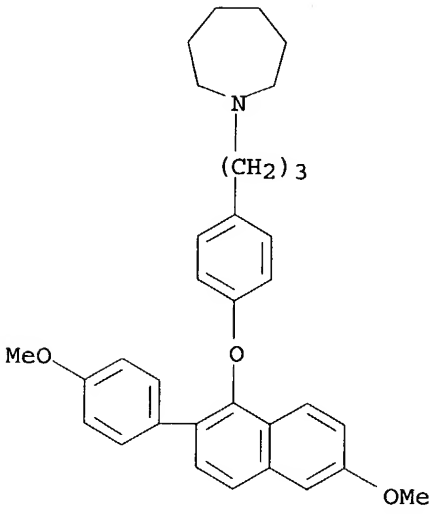
AB Compds. I [R1 = H, OH, C1-4 alkoxy, etc.; R2, R3 = H, Cl, C2-7 alkoxycarbonyl, etc.; R4 = OH, 1-piperidinyl, 1-pyrrolidinyl, dimethylamino, C1-6 alkoxy, C4-6 cycloalkoxy, aryloxy, etc.; X= CH:CH, CH2CH:CH, (CH)2CH:CH; Y being absent, CO, with the proviso that when Y is absent, R4 may not be OH, C1-6 alkoxy, C4-6 cycloalkoxy or aryloxy] or a pharmaceutically acceptable salt thereof, are prepared The compds. are selective estrogen receptor modulators (SERM) and are useful in the treatment of pathol. conditions associated with estrogen deprivation or the abnormal response to endogenous estrogen. Thus, reacting 1-(4-formylphenoxy)-2-(4-methoxyphenyl)-6-methoxynaphthalene with triethylphosphonoacetate gave 3-[4-(2-(4-methoxyphenyl)-6-methoxynaphth-1-yloxy)phenyl]propenoic acid Et ester.

IT **205863-78-1P 205863-82-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(1-aryloxy-2-arylnaphthyl compound pharmaceutical compns. for treatment

of estrogen-dependent pathol. conditions)

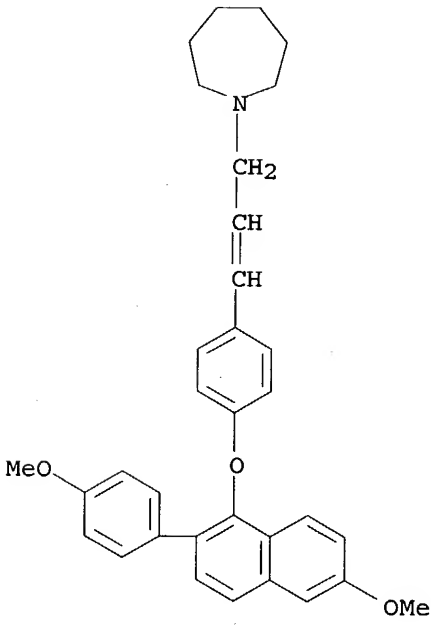
RN 205863-78-1 CAPLUS

CN 1H-Azepine, hexahydro-1-[3-[4-[[6-methoxy-2-(4-methoxyphenyl)-1-naphthalenyl]oxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



RN 205863-82-7 CAPLUS

CN 1H-Azepine, hexahydro-1-[3-[4-[[6-methoxy-2-(4-methoxyphenyl)-1-naphthalenyl]oxy]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)



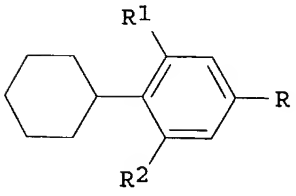
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:98315 CAPLUS
DOCUMENT NUMBER: 128:154016
TITLE: Preparation of N-[(cyclohexylphenyl)alk(en)yl]piperidines and analogs as tumor cell proliferation inhibitors
INVENTOR(S): Breliere, Jean-Claude; Ferrara, Pascual; Lebouteiller, Christine; Paul, Raymond; Rosenfeld, Jorge; Van Broeck, Didier
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804251	A1	19980205	WO 1997-FR1409	19970728
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2751645	A1	19980130	FR 1996-9531	19960729
FR 2751645	B1	19981224		
ZA 9706697	A	19980210	ZA 1997-6697	19970728
AU 9738551	A1	19980220	AU 1997-38551	19970728
AU 735948	B2	20010719		
EP 917464	A1	19990526	EP 1997-935643	19970728
EP 917464	B1	20040512		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
BR 9711606	A	19990824	BR 1997-11606	19970728
CN 1226825	A	19990825	CN 1997-196885	19970728
JP 2000500782	T2	20000125	JP 1998-508567	19970728
JP 3538431	B2	20040614		
RU 2176502	C2	20011210	RU 1999-104150	19970728
EE 3851	B1	20021015	EE 1999-29	19970728
IL 127922	A1	20031123	IL 1997-127922	19970728
AT 266392	E	20040515	AT 1997-935643	19970728
NO 9900401	A	19990325	NO 1999-401	19990128
US 6235791	B1	20010522	US 1999-230643	19990412

PRIORITY APPLN. INFO.: FR 1996-9531 A 19960729
WO 1997-FR1409 W 19970728

OTHER SOURCE(S): MARPAT 128:154016
GI



I

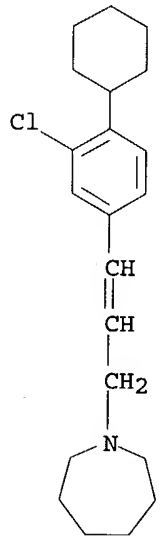
AB Title compds. [e.g., I; R = ZCH2NR3R4, Z1 = CH2R5, etc.; R1 = H and R2 = H, F, NO2 or R1 = R2 = Cl; R3 = (cyclo)alkyl; R4 = (cyclo)alkyl, Ph, CH2Ph, etc.; NR3R4 = heterocyclyl; R5 = substituted piperidino, -alkylamino, etc.; Z = cyclopropane-1,2-diyl; Z1 = CH2CH2, CH(OH)CH2, CH:CH, C.tplbond.C] were prepared as tumor cell proliferation inhibitors (no data). Thus, 3-chloro-4-cyclohexylacetophenone was condensed with H2NNHCONH2.HCl and the product treated with SeO2 to give I (R1 = H, R2 = Cl)(II; R = C.tplbond.CH) which was condensed with 3-azaspiro[5,5]undecane and HCHO to give, after hydrogenation, II [R = CH:CHCH2R5, R5 = 3-azaspiro[5,5]undecan-3-yl].

IT **202720-27-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(cyclohexylphenyl)alk(en)yl]piperidines and analogs as tumor cell proliferation inhibitors)

RN 202720-27-2 CAPLUS

CN 1H-Azepine, 1-[3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro- (9CI) (CA INDEX NAME)

P



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

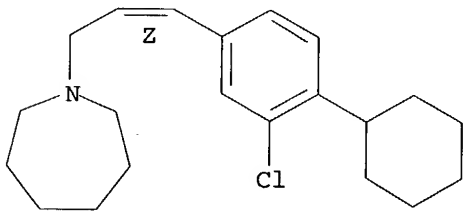
L12 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:89568 CAPLUS
DOCUMENT NUMBER: 124:194077
TITLE: σ Receptor antagonists block the development of sensitization to cocaine
AUTHOR(S): Ujike, Hiroshi; Kuroda, Shigetoshi; Otsuki, Saburo
CORPORATE SOURCE: Okayama, 700, Japan
SOURCE: European Journal of Pharmacology (1996), 296(2), 123-8
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effects of putative σ receptor antagonists, BMY-14802 (α-(4-fluorophenyl)-4-(5-fluoro-2-pyrimidinyl)-1-piperazine), rimcazole and SR-31742A (cis-3-(hexahydroazepin-1-yl)1-(3-chloro-4-cyclohexylphenyl)propene-1), on the development of behavioral sensitization induced by repeated administration of cocaine were investigated. Acute i.p. injection of 15 mg/kg cocaine in rats induced moderate hyperactivity which mainly consisted of sniffing and rearing. These acute effects of cocaine were hardly affected by co-administration of the σ receptor antagonists, except that BMY-14802 enhanced, but not significantly, cocaine-induced locomotion. While repeated cocaine administration induced a progressive increase in stereotyped behaviors and resulted in sensitization, every σ receptor antagonists tested attenuated the development of sensitization to cocaine. These prophylactic effects of σ receptor antagonists against cocaine-induced sensitization were confirmed by the challenge test with cocaine alone after an abstinence. These results were consistent with results of our previous study which revealed that BMY-14802 blocked the sensitization to methamphetamine, another psychostimulant. Therefore, σ receptors play a crucial role in the development of the psychostimulant-induced sensitization phenomenon, which is a pharmacol. model of schizophrenia.

IT **139592-99-7**, SR-31742A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(sigma receptor antagonists block cocaine sensitization development)

RN 139592-99-7 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

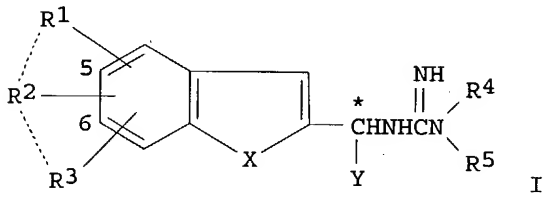


● HCl

L12 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:849163 CAPLUS
DOCUMENT NUMBER: 123:256498
TITLE: Preparation of (benzoheteroaryl)methylguanidine calcium- and/or sodium-channel blockers
INVENTOR(S): Lucchetti, Jean; Rinaldi, Murielle; Pialot, Francoise; Merschaert, Alain
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504052	A1	19950209	WO 1994-FR962	19940728
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2708609	A1	19950210	FR 1993-9362	19930729
FR 2708609	B1	19951020		
AU 9473870	A1	19950228	AU 1994-73870	19940728
ZA 9405597	A	19960129	ZA 1994-5597	19940728
EP 711290	A1	19960515	EP 1994-923764	19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09500895	T2	19970128	JP 1994-505627	19940728
HU 75118	A2	19970428	HU 1996-179	19940728
PRIORITY APPLN. INFO.:			FR 1993-9362	19930729
			WO 1994-FR962	19940728

OTHER SOURCE(S): MARPAT 123:256498
GI



I

AB 0The title compds. [I; R1-R3 = H, halogen, alkyl, alkoxy, Ph, PhCH2; R4, R5 = H, C6-12 alkyl, benzhydryl, (un)substituted aralkyl, etc; X = O, S, (un)substituted NH; Y = (un)substituted heterocyclic or 2,3-dihydro heterocyclic residue; R1-R3 = C4-6 cyclic hydrocarbon including the C atoms at positions 5 and 6; * = asym. C] [e.g., 1-[2-methoxy-5-[4-(N-hexamethyleneimino)butyl]phenyl]-1-(2-benzofuryl)methylguanidine benzoate; m.p. 135°], useful as sodium- and/or calcium-channel blockers (no data) for the treatment of a variety of claimed diseases (no data), are prepared

IT **168821-59-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

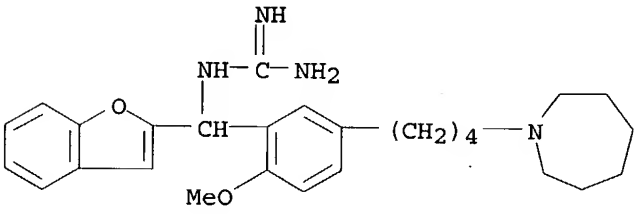
study); PREP (Preparation); USES (Uses)
(preparation of (benzoheteroaryl)methylguanidine calcium- and sodium-channel blockers)

RN 168821-59-8 CAPLUS

CN Guanidine, [2-benzofuranyl[5-[4-(hexahydro-1H-azepin-1-yl)butyl]-2-methoxyphenyl]methyl]-, monobenzoate (9CI) (CA INDEX NAME)

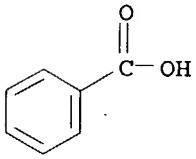
CM 1

CRN 168821-58-7
CMF C27 H36 N4 O2



CM 2

CRN 65-85-0
CMF C7 H6 O2



L12 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:603854 CAPLUS
DOCUMENT NUMBER: 123:227803
TITLE: N-[4-[4-(Ethylamino)-1-hydroxybutyl]phenyl]methanesulfonamides as Class III antiarrhythmic agents
INVENTOR(S): Hester, Jackson B., Jr.; Perricone, Salvatore C.; Gibson, J. Kenneth
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: U.S., 15 pp. Cont.-in-part of U.S. Ser. No. 820,671, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

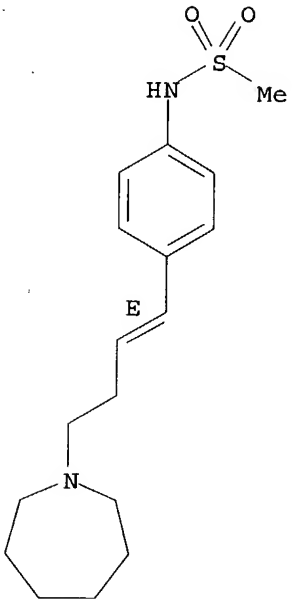
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5405997	A	19950411	US 1993-156474		19931123
PRIORITY APPLN. INFO.:			US 1993-156474	B2	19931123
			US 1992-820671	B2	19920117
			US 1989-385335		19890725

OTHER SOURCE(S): MARPAT 123:227803

AB Methanesulfonamides are structurally depicted as 4-(MeSO2NH)C6H4CH(OH)(CH2)3NEtR3 (I) or its pharmacol. acceptable salts where R3 is a C1-7 alkyl substituted with C3-7 cycloalkyl, or a C1-10 alkyl substituted with one to eight fluorine atoms, one to three hydroxy, one to three C1-5 acyloxy or one to three C1-4 alkoxy substituents. These compds. are useful as Class III antiarrhythmic agents and are stable against rapid metabolism Methods for treating cardiac arrhythmias with the compds. I as well as compns. thereof are also described. Thus, e.g., oxidation of 2-methylcyclohexanone with m-chloroperbenzoic acid afforded 6-hydroxyheptanoic acid ε-lactone; reaction of the latter with ethylamine.HCl/AlMe3 afforded N-ethyl-6-hydroxyheptanamide which was reduced with LiAlH4 to ethyl(6-hydroxyheptyl)amine; amidation reaction of the latter with 4-[(methanesulfonyl)amino]-γ-oxobenzenebutanoic acid afforded N-ethyl-N-(6-hydroxyheptyl)-γ-oxo-4-[(methanesulfonyl)amino]benzenebutanamide which was reduced to the I derivative N-[4-[4-[ethyl(6-hydroxyheptyl)amino]-1-hydroxybutyl]phenyl]methanesulfonamide (II). A measure of the class III antiarrhythmic activity of these compds. is indicated by the percent increase in the effective refractory period of rabbit papillary muscle determined at 10-5 M and pacing rates of 1 and 3 Hz (ERP1 and ERP3). For II, ERP1 = 5.4 (standard error of the mean = 2.9), ERP3 = 6.3 (2.2). The authors caution about waste disposal in the preparation of I containing cyclopropyl groups.

IT **135124-25-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-[4-[4-(ethylamino)-1-hydroxybutyl]phenyl]methanesulfonamides as Class III antiarrhythmic agents)
RN 135124-25-3 CAPLUS
CN Methanesulfonamide, N-[4-[4-(hexahydro-1H-azepin-1-yl)-1-butenyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.


O
O
S
HN
Me
E
N

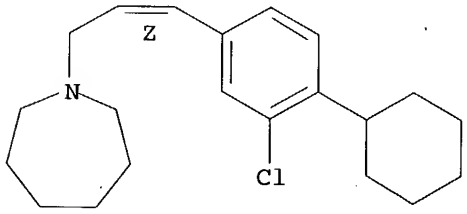
DL2 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:491447 CAPLUS
DOCUMENT NUMBER: 122:256206
TITLE: Effects of the σ receptor ligand SR 31742A on neurotensin biosynthesis in rat basal ganglia
AUTHOR(S): Labie, Christophe; Saubusse, Patricia; Keane, Peter E.; Fu, Gerard Le; Soubrie, Philippe
CORPORATE SOURCE: Sanofi Recherche, Toulouse, 31036, Fr.
SOURCE: Synapse (New York) (1995), 19(4), 241-6
CODEN: SYNAET; ISSN: 0887-4476
PUBLISHER: Wiley-Liss
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effects of SR 31742A, a specific σ ligand, were investigated on neurotensin (NT) biosynthesis in the basal ganglia of the rat. Both single and repeated treatments with either SR 31742A (20 mg/kg i.p.) or haloperidol (1 mg/kg i.p.) increased the concentration of NT-like immunoreactivity (NT-li) in the nucleus accumbens. In contrast to haloperidol, the administration of SR 31742A failed to increase the concentration of NT-li in the caudate-putamen. The authors have further investigated drug-induced variations in NT biosynthesis by studying NT/neuromedin N (NT/NN) mRNA levels in the nucleus accumbens and the ventral tegmental area of the rat following SR 31742A administration. The NT/NN mRNA levels in the ventral tegmental area were increased by a maximum of fifteen fold (7 h at 20 mg/kg i.p.). A lower increase in NT/NN mRNA levels was elicited in the nucleus accumbens. These results suggest that the increase in NT-li observed after SR 31742A treatment, like that produced by haloperidol, may result from an increase of NT biosynthesis. Furthermore, the effects of SR 31742A on NT metabolism are similar to those of atypical antipsychotics, since they appear to be selective for the limbic system.

IT **139592-99-7**, SR 31742A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of the σ receptor ligand SR 31742A on neurotensin biosynthesis in rat basal ganglia)

RN 139592-99-7 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



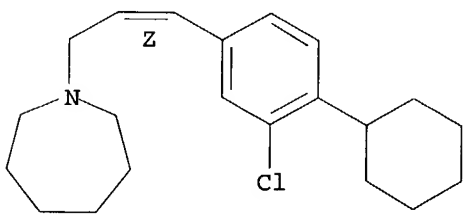
● HCl

L12 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:485950 CAPLUS
DOCUMENT NUMBER: 119:85950
TITLE: Neuropharmacological profile of a novel and selective ligand of the sigma site: SR 31742A
AUTHOR(S): Poncelet, M.; Santucci, V.; Paul, R.; Gueudet, C.; Lavastre, S.; Guitard, J.; Steinberg, R.; Terranova, J. P.; Breliere, J. C.; et al.
CORPORATE SOURCE: Neuropsychiatry Dep., Sanofi Rech., Montpellier, 34184, Fr.
SOURCE: Neuropharmacology (1993), 32(6), 605-15
CODEN: NEPHBW; ISSN: 0028-3908
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The biochem., electrophysiol., and behavioral effects of SR 31742A were compared with those of DA antagonists having high (haloperidol) or low (spiroperiodol) affinity for the brain sigma sites labeled with (+)-[3H]3-PPP in mice and rats. Like haloperiodol, but unlike spiroperidol, SR 31742A (ED50 = 0.065 mg/kg i.p. and 0.21 mg/kg orally) antagonized the sigma-dependent turning behavior in mice and inhibited (0.5 mg/kg i.v.) the spontaneous firing of brain hippocampal CA3 neurons in urethane-anesthetized rats. In chloralhydrate-anesthetized rats, like classical antipsychotic compds., SR 31742A (0.625-5mg/kg i.p.) increased the number of spontaneously active A9 and A10 dopaminergic cells after a single administration and produced an appropriate effect after repeated injections. SR 31742A reduced (2.5, 5, 10 mg/kg i.p.) the hyperactivity elicited by various drugs, including that produced by injection (+)-amphetamine into the nucleus accumbens and impaired avoidance responses at doses sparing escape behavior (5 and 10 mg/kg i.p.). SR 31742A lacked affinity for dopaminergic receptors and did not induce catalepsy nor antagonized the effects elicited by apomorphine such as climbing, hypothermia, stereotypy, or the inhibition of firing of dopaminergic neurons. SR 31742A did not affect the basal metabolism of dopamine but at 10 mg/kg i.p. it reduced the amphetamine-induced rise in the levels of 3-methoxytyramine in the striatum of mice. The results indicate a modulatory role for brain sigma sites in the activity of hippocampal and dopaminergic systems and that sigma ligands exert effects with an antipsychotic potential.

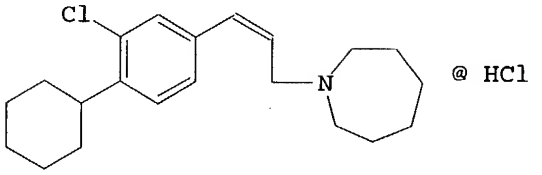
IT **139592-99-7**, SR 31742A
RL: PROC (Process)
(neuropharmacol. characterization of)
RN 139592-99-7 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

L12 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:226044 CAPLUS
DOCUMENT NUMBER: 118:226044
TITLE: The σ receptor ligand SR 31742A increases neurotensin in the nucleus accumbens but not in the caudate-putamen of the rat
AUTHOR(S): Labie, Christophe; Keane, Peter E.; Soubrie, Philippe; Le Fur, Gerard
CORPORATE SOURCE: Sanofi Rech., Toulouse, 31036, Fr.
SOURCE: European Journal of Pharmacology (1993), 231(3), 465-7
CODEN: EJPHAZ; ISSN: 0014-2999
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

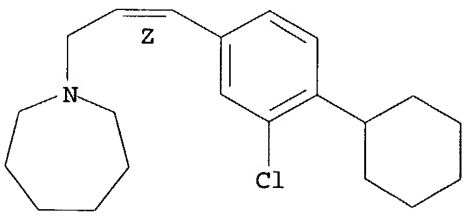


I

AB The effects of SR 31742A (I), a specific σ site ligand, were investigated on regional neurotensin concns. in rat brain. Both acute and chronic (21-day) treatment with either SR 31742A (20 mg/kg, i.p.) or haloperidol (1 mg/kg, i.p.) increased the neurotensin-like immunoreactivity in the nucleus accumbens. In contrast to haloperidol, the administration of SR 31742A failed to increase the concentration of neurotensin-like immunoreactivity in the caudate-putamen. Thus, the effects of SR 31742A appear to be selective for the limbic system.

IT **139592-99-7**, SR 31742A
RL: BIOL (Biological study)
(neurotensin of brain regions response to, as σ receptor ligand)
RN 139592-99-7 CAPLUS
CN 1H-Azepine, 1-[(2Z)-3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

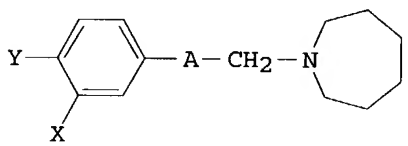
L12 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:151600 CAPLUS
DOCUMENT NUMBER: 116:151600
TITLE: Derivatives of hexahydroazepines, procedure for their preparation, and pharmaceutical (antipsychotic) compositions containing them
INVENTOR(S): Lavastre, Serge; Maignan, Jean Pierre; Paul, Raymond; Poncelet, Martine; Santucci, Vincent
PATENT ASSIGNEE(S): Sanofi SA, Fr.
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 461986	A1	19911218	EP 1991-401531	19910611
EP 461986	B1	19960110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2663328	A1	19911220	FR 1990-7434	19900614
FR 2663328	B1	19940805		
AT 132861	E	19960115	AT 1991-401531	19910611
ES 2084792	T3	19960516	ES 1991-401531	19910611
CA 2044484	AA	19911215	CA 1991-2044484	19910613
CA 2044484	C	19980526		
NO 9102283	A	19911216	NO 1991-2283	19910613
NO 180195	B	19961125		
NO 180195	C	19970305		
US 5231092	A	19930727	US 1991-714832	19910613
IL 98479	A1	19951127	IL 1991-98479	19910613
RU 2070194	C1	19961210	RU 1991-4895622	19910613
RU 2133741	C1	19990727	RU 1994-38042	19910613
CZ 285696	B6	19991013	CZ 1991-1818	19910613
FI 9102899	A	19911215	FI 1991-2899	19910614
AU 9178434	A1	19911219	AU 1991-78434	19910614
AU 647481	B2	19940324		
ZA 9104572	A	19920325	ZA 1991-4572	19910614
JP 04321676	A2	19921111	JP 1991-143212	19910614
HU 61736	A2	19930301	HU 1991-1983	19910614
PL 165842	B1	19950228	PL 1991-290675	19910614
LV 10433	B	19950820	LV 1993-141	19930225
US 5296596	A	19940322	US 1993-45722	19930414
LT 3550	B	19951127	LT 1993-704	19930623

PRIORITY APPLN. INFO.: FR 1990-7434 A 19900614
US 1991-714832 A3 19910613

OTHER SOURCE(S): MARPAT 116:151600
GI



I

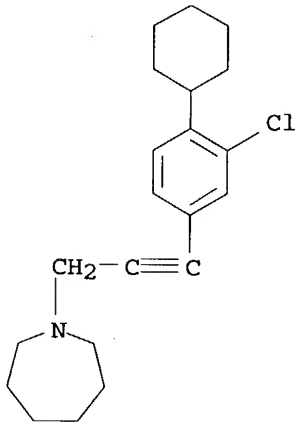
AB Title compds. I [A = COCH2, CH(OH)CH2, CH2CH2, CH:CH, C.tplbond.C; X = H,

halo; Y = cyclohexyl, or Y = Ph when X = H] and salts were prepared as antipsychotics with selective binding to sigma receptors and without dopaminergic affinity. For example, 3-chloro-4-cyclohexylacetophenone reacted with PCl5 to give its vinylic chloride derivative, which was dehydrochlorinated by KOH in EtOH to give 3-chloro-4-cyclohexyl-1-ethynylbenzene. This was coupled with hexahydroazepine and formaldehyde using CuCl in dimethoxyethane, followed by hydrogenation over Pd/BaSO4, chromatog., and acidification, to give cis-I.HCl (A = CH:CH, X = Cl, Y = cyclohexyl) (II). II was more active and/or selective than haloperidol in sigma receptor binding assays, and was active in the amphetaminic hyperactivity assay for antipsychotic activity in mice. Six synthetic examples are given.

IT **139592-98-6P 139592-99-7P 139593-02-5P**
139593-03-6P 139593-04-7P 139593-05-8P
139593-07-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antipsychotic)

RN 139592-98-6 CAPLUS

CN 1H-Azepine, 1-[3-(3-chloro-4-cyclohexylphenyl)-2-propynyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



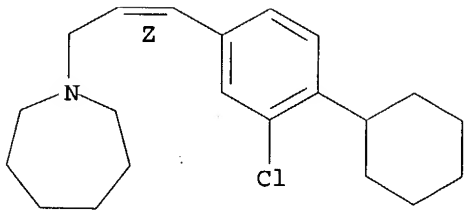
● HCl

RN 139592-99-7 CAPLUS

CN 1H-Azepine, 1-[(2Z)-3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

P

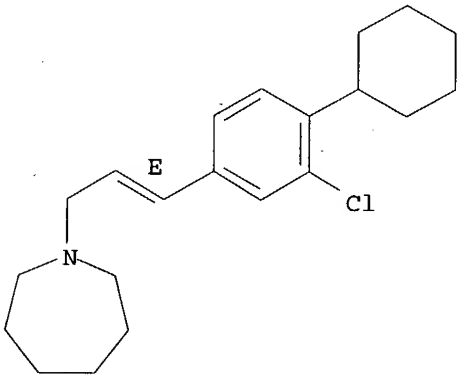


● HCl

RN 139593-02-5 CAPLUS
CN 1H-Azepine, 1-[3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro-, hydrochloride, (E)- (9CI) (CA INDEX NAME)

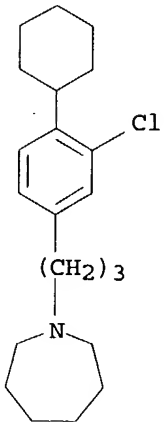
Double bond geometry as shown.

P



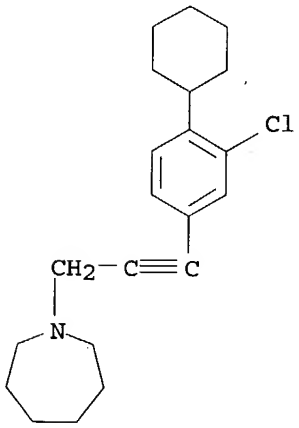
● HCl

RN 139593-03-6 CAPLUS
CN 1H-Azepine, 1-[3-(3-chloro-4-cyclohexylphenyl)propyl]hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



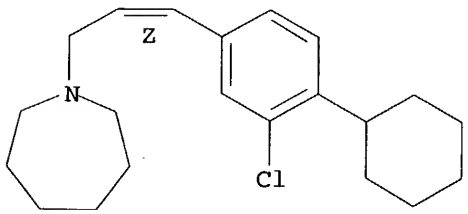
● HCl

RN 139593-04-7 CAPLUS
CN 1H-Azepine, 1-[3-(3-chloro-4-cyclohexylphenyl)-2-propynyl]hexahydro- (9CI) (CA INDEX NAME)



RN 139593-05-8 CAPLUS
CN 1H-Azepine, 1-[3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro-, (Z)- (9CI) (CA INDEX NAME)

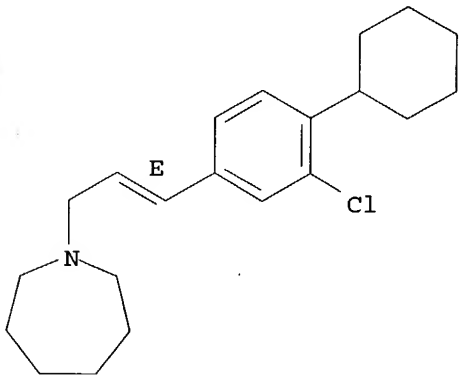
Double bond geometry as shown.



RN 139593-07-0 CAPLUS
CN 1H-Azepine, 1-[3-(3-chloro-4-cyclohexylphenyl)-2-propenyl]hexahydro-, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

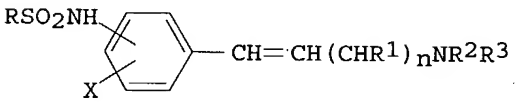
P



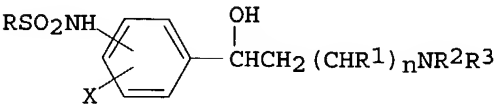
L12 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:471113 CAPLUS
DOCUMENT NUMBER: 115:71113
TITLE: Preparation of N-[(aminoalkenyl)phenyl]alkanesulfonamides as antiarrhythmic agents
INVENTOR(S): Hester, Jackson Boling, Jr.; Perricone, Salvatore Charles; Gibson, John Kenneth
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9101299	A1	19910207	WO 1990-US3960	19900719
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2060326	AA	19910126	CA 1990-2060326	19900719
CA 2060326	C	20031021		
AU 9060554	A1	19910222	AU 1990-60554	19900719
AU 641676	B2	19930930		
EP 484378	A1	19920513	EP 1990-911059	19900719
EP 484378	B1	19940914		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04506959	T2	19921203	JP 1990-510467	19900719
JP 3065659	B2	20000717		
ES 2060188	T3	19941116	ES 1990-911059	19900719
PRIORITY APPLN. INFO.:			US 1989-385335 A2	19890725
			WO 1990-US3960 A	19900719

OTHER SOURCE(S): MARPAT 115:71113
GI



I



II

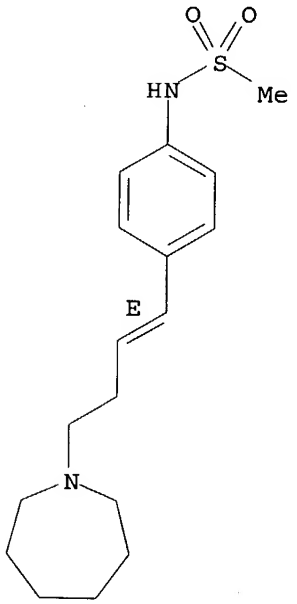
AB The title compds. [I, II; n = 1-3; R = C1-4 alkyl; R1 = H, C1-4 alkyl; R2 = C1-10 alkyl; R3 = (un)substituted C1-10 alkyl, fluorinated C1-10 alkyl, C3-10 cycloalkyl or alkenyl; or NR2R3 = 5- to 9-membered saturated heterocyclyl, 4-substituted piperazin-1-yl; X = H, OH, C1-4 alkoxy, C1-4 alkyl, CF3, halo], useful as class III antiarrhythmic agents, are prepared Thus, N-[4-[4-(ethylheptylamino)-1-hydroxybutyl]phenyl]methanesulfonamide was stirred with a mixture of CF3CO2H and CH2Cl2 at room temperature for 24 h to

give, after flash chromatog. over silica gel and salification with fumaric acid, (E)-N-[4-[4-(ethylheptylamino)-1-butenyl]phenyl]methanesulfonamide.0.5 fumaric acid (III). III showed very selective depression of cardiac activity during hypoxia.

IT **135124-25-3P 135124-29-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antiarrhythmic)

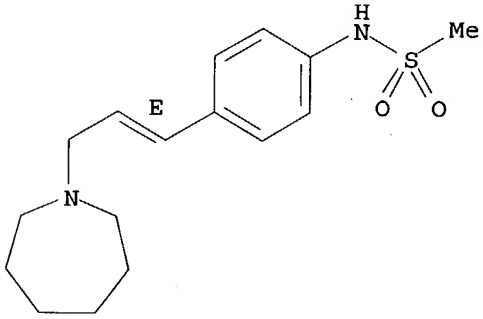
RN 135124-25-3 CAPLUS
CN Methanesulfonamide, N-[4-[4-(hexahydro-1H-azepin-1-yl)-1-butenyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

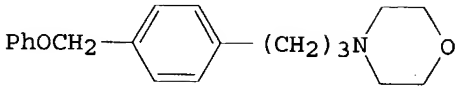


RN 135124-29-7 CAPLUS
CN Methanesulfonamide, N-[4-[3-(hexahydro-1H-azepin-1-yl)-1-propenyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L11 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:69419 CAPLUS
DOCUMENT NUMBER: 112:69419
TITLE: Antiarrhythmic activity of the local anesthetic fomocaine and some of its analogs
AUTHOR(S): Braeunig, B.; Busch, A. E.; Mutschler, E.; Wess, J.; Oelschlaeger, H.
CORPORATE SOURCE: Fac. Biochem., Pharm. Food Chem., Johann Wolfgang Goethe-Univ., Frankfurt/Main, Fed. Rep. Ger.
SOURCE: Arzneimittel-Forschung (1989), 39(11), 1436-9
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

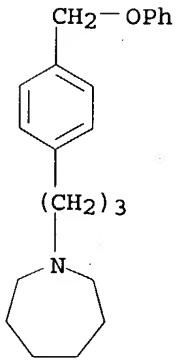


I

AB A series of fomocaine (I) derivs. modified in the basic center and(or) in the mol. moiety linking the 2 Ph rings were investigated for antiarrhythmic activity in vitro and in vivo. Propafenone, quinidine, lidocaine, and fomocaine served as reference drugs. In the in vitro expts. on guinea pig atrial prepns., the prolongation of the functional refractory period (FRP) and the reduction of the maximal driving frequency (MDF) were both taken as a measure of antiarrhythmic activity. Several fomocaine derivs. were more active in the in vitro assays than the reference drugs fomocaine, lidocaine, or quinidine. Usually, the compds. containing a piperdine or a hexamethyleneimino ring system as a basic center exerted greater effects on FRP and MDF than the analogs containing a morpholine ring. Besides their effects on FRP and MDF, all drugs investigated produced neg. inotropic responses in isolated guinea pig atria. The magnitude of this effect usually correlated well with the extent of FRP prolongation or MDF reduction Based on the results of the in vitro expts., some of the most active fomocaine derivs. were also tested for their ability to prevent aconitine-induced arrhythmias in the anesthetized rat. While fomocaine itself was inactive, 2 fomocaine analogs containing an O(CH2)3 chain linking the 2 Ph rings showed pronounced antiarrhythmic activity in this in vivo preparation LD50 detns. in mice revealed that these 2 agents had a lower acute toxicity than lidocaine and propafenone while being somewhat more toxic than quinidine and fomocaine.

IT **125112-46-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiarrhythmic activity of)

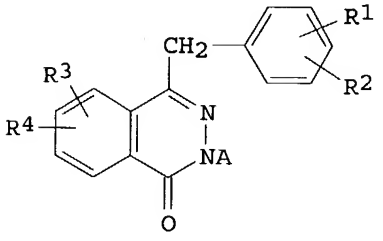
RN 125112-46-1 CAPLUS
CN 1H-Azepine, hexahydro-1-[3-[4-(phenoxymethyl)phenyl]propyl]- (9CI) (CA INDEX NAME)


CH2—OPh
(CH2)3
N

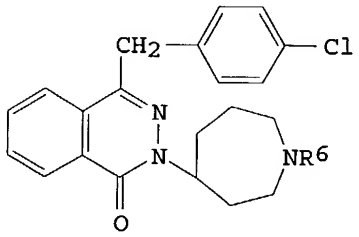
L12 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:576054 CAPLUS
DOCUMENT NUMBER: 107:176054
TITLE: Preparation of 4-benzyl-1(2H)-phthalazinones as antiallergy agents
INVENTOR(S): Engel, Juergen; Scheffler, Gerhard
PATENT ASSIGNEE(S): Asta-Werke A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3634942	A1	19870514	DE 1986-3634942	19861014
EP 222191	A1	19870520	EP 1986-114241	19861015
EP 222191	B1	19910130		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 60598	E	19910215	AT 1986-114241	19861015
ES 2031813	T3	19930101	ES 1986-114241	19861015
SU 1454251	A3	19890123	SU 1986-4028445	19861106
FI 8604555	A	19870512	FI 1986-4555	19861110
FI 88504	B	19930215		
FI 88504	C	19930525		
DK 8605364	A	19870512	DK 1986-5364	19861110
DK 172076	B1	19971013		
NO 8604474	A	19870512	NO 1986-4474	19861110
AU 8664982	A1	19870514	AU 1986-64982	19861110
AU 593593	B2	19900215		
HU 42084	A2	19870629	HU 1986-4642	19861110
HU 196793	B	19890130		
CN 86107627	A	19870715	CN 1986-107627	19861110
ZA 8608531	A	19870729	ZA 1986-8531	19861110
DD 263058	A5	19881221	DD 1986-296130	19861110
US 4841047	A	19890620	US 1986-928458	19861110
CA 1295613	A1	19920211	CA 1986-522580	19861110
JP 62114987	A2	19870526	JP 1986-266808	19861111
JP 07080871	B4	19950830		
PRIORITY APPLN. INFO.:			DE 1985-3539873	19851111
			EP 1986-114241	19861015

OTHER SOURCE(S): CASREACT 107:176054
GI



I



II

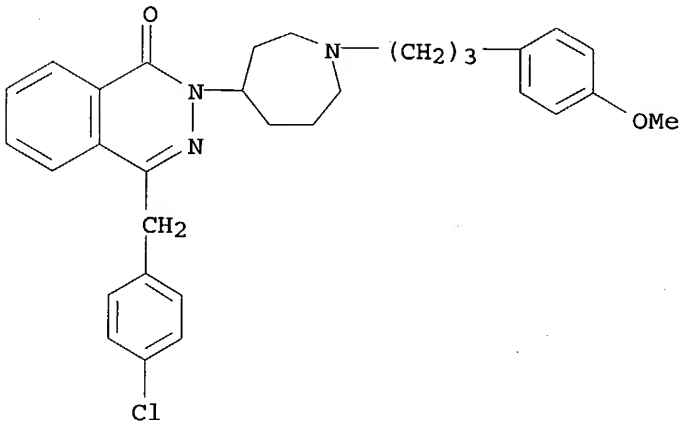
AB The title compds. (I; A = substituted, saturated, N-containing heterocycle, ZR5;

R1 = C1-6 alkyl, C1-6 alkoxy, C2-6 alkanoyloxy, CF3, Br, Cl, F, OH, NO2, amino; R2 = H, R1; R3, R4 = H, C1-6 alkyl, C1-6 alkoxy, PhCH2O, C2-6 alkanoyloxy, OH, halo; R5 = substituted 2-pyrrolidinyl; Z = CH2, CH2CH2) were prepared as antihistaminics and antiasthmatics. Benzyl(hexahydroazepinyl)phthalazinone derivative II (R6 = Me) was treated with HCO2Et to give 77% II (R6 = CO2Et). This was deprotected by heating in 40% aqueous HBr to give 95% II.HBr (R6 = H), which was benzylated with 4-MeC6H4CH2Cl to give, after acidification, 43% II.HCl (R6 = 4-MeC6H4CH2). In guinea pigs 0.5 mg I/kg orally gave 50% protection against ovalbumin-induced asthma. Tablets containing 5 mg active ingredient were prepared from II [R6 = 2-(cyclohexylcarbonyl)ethyl] 50, lactose 450, corn starch 150, amorphous SiO2 10, cellulose 80, and Mg stearate 8 g.

IT **110406-47-8P 110406-48-9P 110406-50-3P**
110406-56-9P 110406-57-0P 110425-23-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiasthmatic and antiallergic)

RN 110406-47-8 CAPLUS

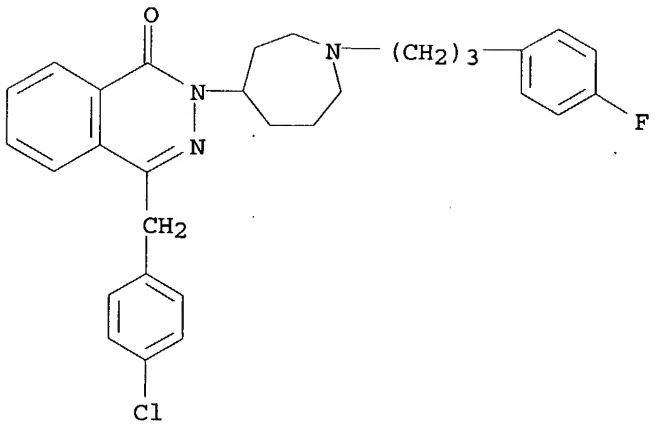
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]-2-[hexahydro-1-[3-(4-methoxyphenyl)propyl]-1H-azepin-4-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

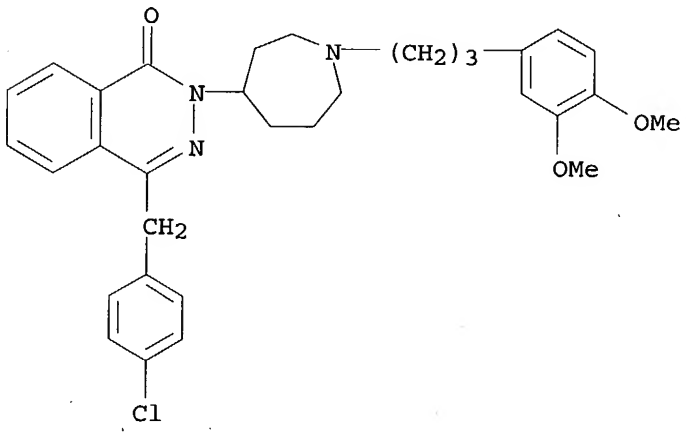
RN 110406-48-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]-2-[1-[3-(4-fluorophenyl)propyl]hexahydro-1H-azepin-4-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



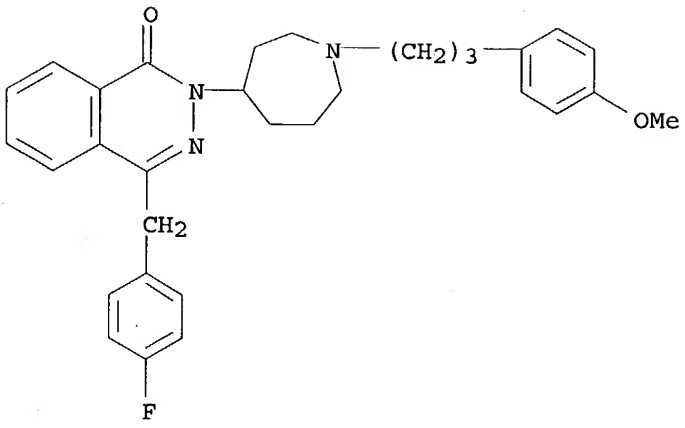
● HCl

RN 110406-50-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]-2-[1-[3-(3,4-dimethoxyphenyl)propyl]hexahydro-1H-azepin-4-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



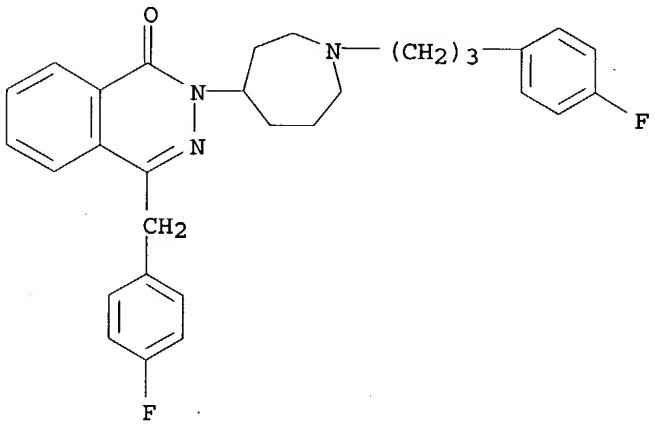
● HCl

RN 110406-56-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-fluorophenyl)methyl]-2-[hexahydro-1-[3-(4-methoxyphenyl)propyl]-1H-azepin-4-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



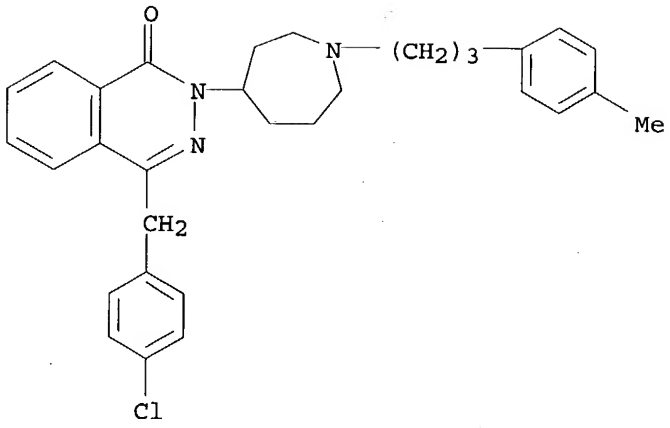
● HCl

RN 110406-57-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-fluorophenyl)methyl]-2-[1-[3-(4-fluorophenyl)propyl]hexahydro-1H-azepin-4-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 110425-23-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]-2-[hexahydro-1-[3-(4-methylphenyl)propyl]-1H-azepin-4-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

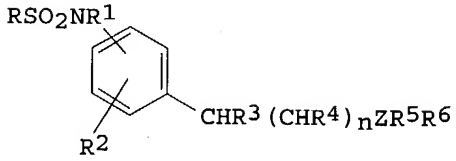


● HCl

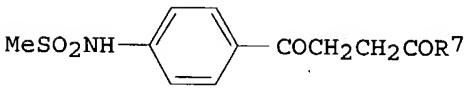
L12 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:109269 CAPLUS
DOCUMENT NUMBER: 104:109269
TITLE: Sulfonanilides as antiarrhythmic compositions
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60239458	A2	19851128	JP 1985-95859	19850502
JP 05067620	B4	19930927		
EP 164865	A1	19851218	EP 1985-303087	19850501
EP 164865	B1	19881221		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
US 5155268	A	19921013	US 1989-423499	19891012
PRIORITY APPLN. INFO.:			US 1984-607361	19840504
			US 1985-721979	19850411
			US 1986-856663	19860425
			US 1988-214806	19880630

GI



I



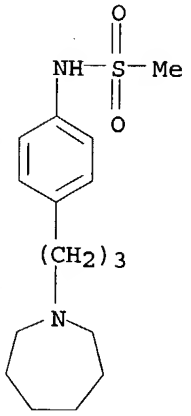
II

AB Antiarrhythmic sulfonanilides (I; R = C1-4 alkyl, C5-7 cycloalkyl; R1 = H, Me; R2 = H, C1-4 alkyl, halo, etc.; R3 = H, OH; R4 = H, C1-4 alkyl; R5, R6 = C1-10 alkyl, C5-12 cycloalkyl, R5R6 = alkylene; Z = N, R7N+X- where R1 = C1-4 alkyl and X = pharmaceutically-compatible anion; n = 0-4) were prepared I were effective as antiarrhythmics in rabbits at a concentration of 0.1-100 mg/kg. Thus, 0.044 mol 1-hydroxybenzotriazole and 0.044 mol DCC were added to a solution of 0.044 mol acid II (R1 = OH) in DMF at 5° with stirring, followed by 0.044 mol Me(CH2)6NHEt to give 10.77 g amide II (R7 = ethylheptylamino), which (0.005 mol) was reduced with 1 M BH3-Me2S solution at room temperature and reflux to give I (R = Me; R1-4 = H at 4-position, R5 = Et, R6 = heptyl, Z = N, n = 3).

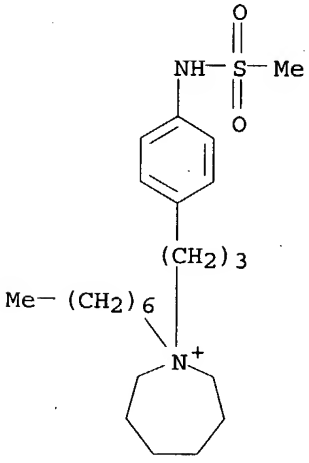
IT **100632-95-9P 100633-11-2P 100633-21-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 100632-95-9 CAPLUS

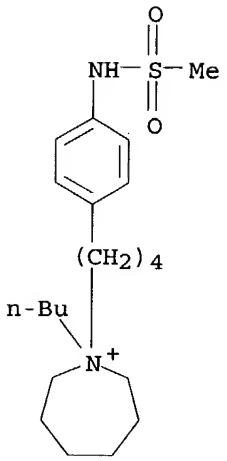
CN Methanesulfonamide, N-[4-[3-(hexahydro-1H-azepin-1-yl)propyl]phenyl]- (9CI) (CA INDEX NAME)



RN 100633-11-2 CAPLUS
CN 1H-Azepinium, 1-heptylhexahydro-1-[3-[4-[(methylsulfonyl)amino]phenyl]propyl]- (9CI) (CA INDEX NAME)



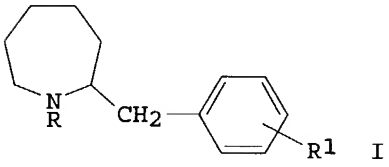
RN 100633-21-4 CAPLUS
CN 1H-Azepinium, 1-butylhexahydro-1-[4-[4-[(methylsulfonyl)amino]phenyl]butyl]- (9CI) (CA INDEX NAME)


O
NH
S
Me
O
(CH2)4
n-Bu
N+

L12 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:15600 CAPLUS
DOCUMENT NUMBER: 94:15600
TITLE: 2-(Optionally-substituted)benzylperhydroazepines for analgesia and lowering blood pressure
INVENTOR(S): Eistetter, Klaus
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.
SOURCE: U.S., 21 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4221788	A	19800909	US 1977-819453	19770727
PRIORITY APPLN. INFO.:			LU 1977-229	19770429

GI

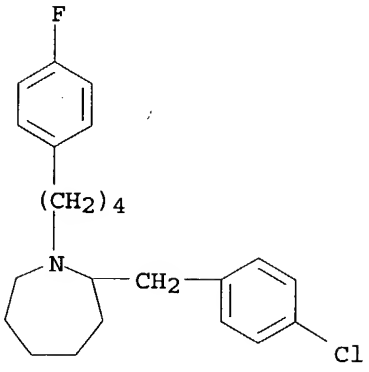


I

AB The benzylperhydroazepines I [R = aliphatic hydrocarbyl, alicyclic hydrocarbyl, alkyl, cycloalkylalkyl; R1 = halo, alkyl, HO, alkoxy, alkanoyloxy, optionally substituted NH2, NO2, (un)substituted Ph, alkylenedioxy) were prepared Thus, N-methylcaprolactam was methylated with Me2SO4 and condensed with 4-ClC6H4CH2CO2Et to give 2-(α-ethoxycarbonyl-4-chlorobenzylidene)-1-methylperhydroazepine, which underwent hydrolysis-decarboxylation followed by hydrogenation to give I (R = Me, R1 = 4-Cl). Several I were evaluated for central stimulation, reserpine antagonism, tremorine antagonism, analgesis, and antihypertension activity.

IT **68841-10-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

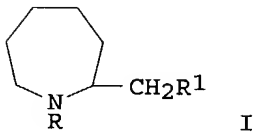
RN 68841-10-1 CAPLUS
CN 1H-Azepine, 2-[(4-chlorophenyl)methyl]-1-[4-(4-fluorophenyl)butyl]hexahydro- (9CI) (CA INDEX NAME)


F
(CH2)4
N
CH2
Cl

L12 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:38807 CAPLUS
DOCUMENT NUMBER: 90:38807
TITLE: 2-Benzylperhydroazepines
INVENTOR(S): Eistetter, Klaus; Schaefer, Hartmann; Menge, Heinz Guenter
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 70 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2818995	A1	19781102	DE 1978-2818995	19780429
SE 7708622	A	19781030	SE 1977-8622	19770727
DK 7703397	A	19781030	DK 1977-3397	19770727
ES 469164	A1	19790916	ES 1978-469164	19780426
IL 54588	A1	19820531	IL 1978-54588	19780427
FI 7801338	A	19781030	FI 1978-1338	19780428
BE 866588	A1	19781030	BE 1978-46453	19780428
NL 7804579	A	19781031	NL 1978-4579	19780428
NO 7801530	A	19781031	NO 1978-1530	19780428
FR 2388797	A1	19781124	FR 1978-12619	19780428
FR 2388797	B1	19801031		
JP 53135994	A2	19781128	JP 1978-50217	19780428
ZA 7802432	A	19790425	ZA 1978-2432	19780428
AU 7835551	A1	19791101	AU 1978-35551	19780428
AU 525198	B2	19821028		
GB 1593223	A	19810715	GB 1978-16992	19780428
AT 7803121	A	19811015	AT 1978-3121	19780428
AT 367040	B	19820525		
CA 1114374	A1	19811215	CA 1978-302270	19780428
CH 637926	A	19830831	CH 1981-6277	19810929
PRIORITY APPLN. INFO.:			LU 1977-77229	19770429
			DK 1977-3397	19770727
			LU 1976-77229	19760429
			CH 1977-9294	19770727

GI



I

AB Perhydroazepines I (R = H, aliphatic, cycloaliph., cycloalkylalkyl, aralkyl; R1 = Ph, substituted phenyl) were prepared for use as analgesics and in the treatment of blood pressure and central nervous system disorders (no data). Thus, N-methylcaprolactam was treated with Me2SO4 to give 2-methoxy-1-methyl-4,5,6,7-tetrahydroazepinium Me sulfate, which was treated with Me2NH to give 2-dimethylamino-1-methyl-4,5,6,7-tetrahydro-3H-azepinium Me sulfate. The latter compound was treated with 4-ClC6H4CH2CO2Et to give 2-(α-ethoxycarbonyl-4-chlorobenzylidene)-1-

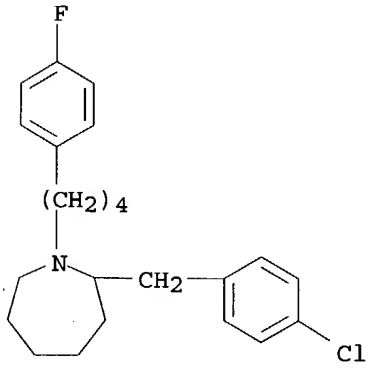
methylperhydroazepine, which was decarboxylated to give I (R = Me, R1 = 4-ClC6H4).

IT **68841-10-1P**

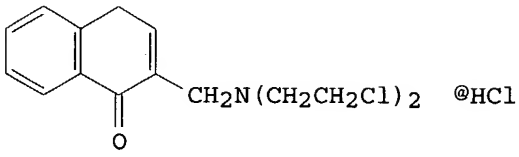
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 68841-10-1 CAPLUS

CN 1H-Azepine, 2-[(4-chlorophenyl)methyl]-1-[4-(4-fluorophenyl)butyl]hexahydro- (9CI) (CA INDEX NAME)



L12 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:545546 CAPLUS
DOCUMENT NUMBER: 87:145546
TITLE: Structure-effect interactions in Mannich bases with and without nitrogen-mustard groups andsome reduction products derived from β-aminoketones on the basis of a cancerostatic-3-step testwith transplantation tumors
AUTHOR(S): Werner, W.; Jungstand, W.; Gutsche, W.; Wohlrabe, K.
CORPORATE SOURCE: Forschungszent. Molekularbiol. Med., DAW, Jena, Ger. Dem. Rep.
SOURCE: Pharmazie (1977), 32(6), 341-7
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



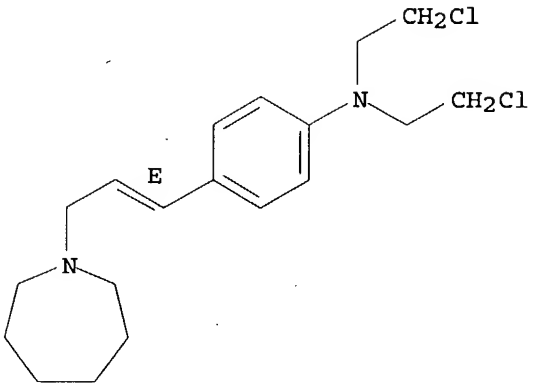
I

AB The effects of 68 Mannich bases and 16 comparison compds. on transplanted tumors (Ehrlich ascites carcinoma, leukemia L 1210, myeloid leukema, Crocker sarcoma, and Walker carcinosarcoma) were studied by a 3-step method. One of 7 C-Mannich bases with aliphatic nitrogen mustard groups (which rapidly cleaved Cl), 2-[bis-(2-chloroethyl)aminomethyl]-benzocyclohexen-1-one-HCl (I) [17797-98-7], inhibited Crocker Sarcoma in mice by 50% at 8.0 mg/kg. The 17 C-Mannich bases with aromatic nitrogen mustard groups (slowly cleaved Cl) did not inhibit tumor growth. Several derivs. of this type activated by reduction of the carbonyl groups were cancerostatic for Walker carcinosarcoma. Eleven monovalent and 3 di or trivalent β-amino ketones and 17 N-Mannich bases (C-Mannich bases without nitrogen mustard groups) (mono- or divalent aminomethyl compds.) had no effect on tumor growth. However, 9 of 13 N-Mannich bases with nitrogen mustard groups as amine components had strong reproducible cancerostatic effects, especially against myeloid leukemia and Walker carcinosarcoma.

IT **40674-60-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neoplasm inhibition by)

RN 40674-60-0 CAPLUS
CN Benzenamine, N,N-bis(2-chloroethyl)-4-[3-(hexahydro-1H-azepin-1-yl)-1-propenyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



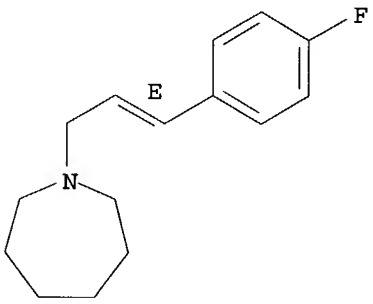
● 2 HCl

L12 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:442067 CAPLUS
DOCUMENT NUMBER: 79:42067
TITLE: Preparation and structure of α-amino alcohols, β-amino alcohols, and amino propenes
AUTHOR(S): Grizard, Genevieve; Cronenberger, Lucien; Pacheco, Henri
CORPORATE SOURCE: Serv. Chim. Biol., Inst. Natl. Sci. Appl., Villeurbanne, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1973), (3)(Pt. 2), 1070-8
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French

AB Six β-aminopropiophenones (I; NR2 = 1-piperidinyl, hexamethyleneimino; R1 = H, F; R2 = H, Me), prepared by the Mannich reaction, are converted to the corresponding 3-phenylallylamines (II). I are reduced to alcs. which are converted to benzyl chlorides and II are formed by dehydrochlorination of the latter.

IT **42382-86-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 42382-86-5 CAPLUS
CN 1H-Azepine, 1-[3-(4-fluorophenyl)-2-propenyl]hexahydro-, hydriodide, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HI

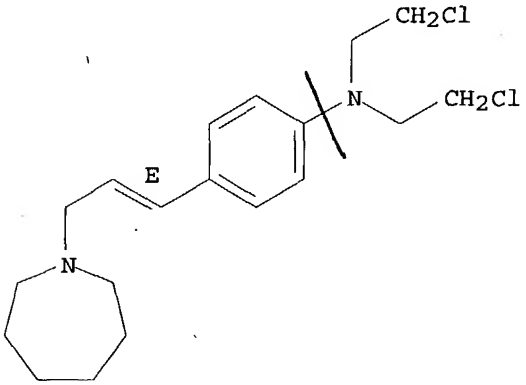
L12 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:124164 CAPLUS
DOCUMENT NUMBER: 78:124164
TITLE: Aromatic nitrogen mustards derived from β-amino ketones, γ-amino alcohols, and γ-aminopropenes as potential cancerostatics
AUTHOR(S): Werner, W.
CORPORATE SOURCE: Zentralinst. Mikrobiol. Exp. Ther., Dtsch. Akad. Wiss. Berlin, Jena, Ger. Dem. Rep.
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1972), 314(3-4), 577-91
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Reaction of p-M, or 0-H2NC6H4COMe with ethylene oxide gave p- or m-(HOCH2CH2)2NC6HCOMe or 0-HOCH2CH2NHC6H4CO Me, resp., which on tosylation and subsequent reaction with CaCl2 gave p- (I) or m-(ClCH2CH2)2NC6H4COMe (II) or 0-clCH2CH2-NHC6H4COMe (III). Mannich condensation of I, II, and III with paraformaldehyde and R2NH (NR2 = NMe2, NEt2, NPr2, 1-pyrrolidinyl, piperidino, 1- perhydroazepinyl, or morpholino) gave p- (IV) or m-(ClCH2CH2)2N- C6H4COCH2CH2NR2.HCl (V) or o-ClCH2CH2NHC6H4CO-CH2CH2NR2, resp. Reduction of I, II, IV, and V with LiAlH4 or NaBH4 gave p-(Cl- CH2CH2)2NC6H4CH:CH2, m-(ClCH2CH2)2NC6H4CHMeOH, p-(ClCH2CH2)2NC6H4CH:CHCH2NR2, and m-(ClCH2CH2)2- NC6H4CH(OH)CH2CH2NR2, resp. I, IV (R = Me), and some of the reduction products had cancerostatic activities.

IT **40673-98-1P 40674-60-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 40673-98-1 CAPLUS
CN Benzenamine, N,N-bis(2-chloroethyl)-4-[3-(hexahydro-1H-azepin-1-yl)-1-propenyl]-, monohydrochloride, (E)- (9CI) (CA INDEX NAME)

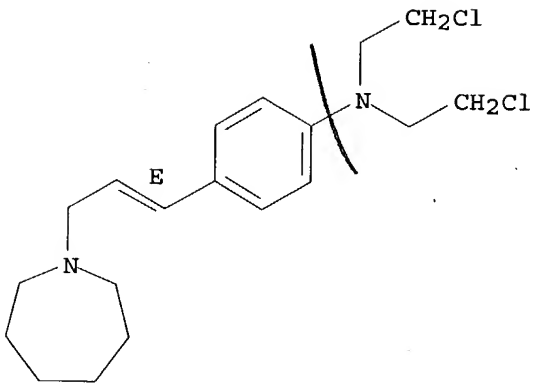
Double bond geometry as shown.



● HCl

RN 40674-60-0 CAPLUS
CN Benzenamine, N,N-bis(2-chloroethyl)-4-[3-(hexahydro-1H-azepin-1-yl)-1-propenyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 2 HCl